09893585 09/30/05

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NEWS 3 JUL 20 Powerful new interactive analysis and visualization software, STN AnaVist, now available

NEWS 4 AUG 11 STN AnaVist workshops to be held in North America

NEWS 5 AUG 30 CA/CAplus -Increased access to 19th century research documents

NEWS 6 AUG 30 CASREACT - Enhanced with displayable reaction conditions

NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY

NEWS 8 SEP 22 MATHDI to be removed from STN

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS INTER General Internet Information

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NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 11:09:57 ON 03 OCT 2005

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 11:10:07 ON 03 OCT 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS) 09893585 09/30/05

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STRUCTURE FILE UPDATES: 2 OCT 2005 HIGHEST RN 864354-42-7 DICTIONARY FILE UPDATES: 2 OCT 2005 HIGHEST RN 864354-42-7

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

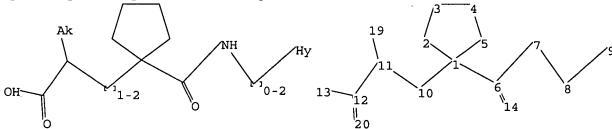
* The CA roles and document type information have been removed from * the IDE default display format and the ED field has been added, * effective March 20, 2005. A new display format, IDERL, is now * available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading C:\Program Files\Stnexp\Queries\09893585.str



chain nodes : 6 7 8 9 10 11 12 13 ring nodes : 1 2 3 4 5 chain bonds : 1-6 1-10 6-7 7-8 8-9 10-11 11-12 11-19 12-13 12-20 6-14 ring bonds : 1-2 1-5 2-3 3-4 exact/norm bonds : 1-2 1-5 2-3 3-4 4-5 6-7 6-14 7-8 8-9 11-19 exact bonds : 1-6 1-10 10-11 11-12 normalized bonds : 12-13 12-20

Page 2

09893585 09/30/05

Match level :

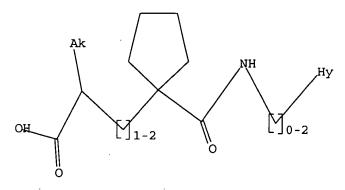
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 11:10:29 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -100 TO ITERATE

100.0% PROCESSED

100 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

1401 TO 2599

PROJECTED ANSWERS:

8 TO 329

L2

8 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:10:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2052 TO ITERATE

100.0% PROCESSED

2052 ITERATIONS

173 ANSWERS

SEARCH TIME: 00.00.01

L3173 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

161.33 161.54

Page 3

SAEED

09893585 09/30/05

FILE 'CAPLUS' ENTERED AT 11:10:58 ON 03 OCT 2005
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FILE COVERS 1907 - 3 Oct 2005 VOL 143 ISS 15 FILE LAST UPDATED: 2 Oct 2005 (20051002/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 28 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2005:611832 CAPLUS
143:91081
Neutral endopeptidase (NEP) and human soluble
endopeptidase (HSEP) inhibitors for prophylaxis and
treatment of neurodegenerative disorders
Ikonomidou, Hrissanthi, Turski, Lechoslaw A.; Ziegler,
Dieter: Weske, Hichael
Solvay Pharmaceuticals B.V., Neth.
U.S. Pat. Appl. Publ., 7 pp.
CODEN: USEXCO
DOCUMENT TYPE:
PAHILIY ACC. NUM. COUNT:
English
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									-		
US	2005	1539	36		A1		2005	0714	1	US 2	005-	3004	3		2	0050	107
WO	2005	0679	37		A1		2005	0728	1	VO 2	005-	EP50	075		2	0050	110
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ.	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	KE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	15,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OH,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	۷C,	VN,	ΥU,	ZA,	ZM,	ZV
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ΖV,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FÍ,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	5E,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,
		MR,	NE,	SN,	TD.	ŢĢ											

Absolute stereochemistry.

(Continued) ANSWER 1 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

09/30/05

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

182821-29-0 CAPLUS

IH-1-Benzazepine-1-acetic acid, 3-[[[1-{(2R)-2-carboxy-4-phenylbuty];cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9C) [CA INDEX NAME]

Absolute stereochemistry.

182821-33-6 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-{1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

182821-33-6 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[(2R]-2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
143:13345
Pharmacautical compositions for the treatment of renal dysfunction, disease or disorder, in particular in diabetic patients
INVENTOR(S):
Thormachlen, Dirk: Hocher, Berthold; Waldeck, Harald Solvay Pharmaceuticals G.m.b.H., Germany
PCT Int. Appl., 27 pp.
CODEN: PIXXD2
Patent
LANGUAGE:
PATENT ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	ENT :						DATE								D.	ATE	
						-									-		
WO	2005	0490	35		A1		2005	0602	,	WO 2	004-1	EP 52	963		2	0041	115
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU.	CZ.	DE,	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	FI.	GB.	GD.
							ID,										
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US	2005						2005	0623		US 2	004-	9888	47		2	0041	116
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										US 2	003-	5231	nep	1	P 2	0031	110

US 2003-523106F P 20031119

R SOURCE(S): MARPAT 143:13345

The present invention relates to a novel use of benzazepine-N-acetic acid derivs. which contain an axo-group in the α-position to the nitrogen atom and are substituted in position 3 by a 1(carboxyslayl)cyclopentylcarbonylamino radical, and/or of their salts and biolabile esters, and/or of physiol. acceptable solvates thereof, in larger mammals and particularly in humans, preferably human patients having diabetes, and to the production of pharmaceutical compns. and outs

having diabetes, and to the production of pharmaceutical compns. and products suitable for the novel treatment. The invention particularly relates to the treatment and/or prophylaxis of renal dysfunction, disease or disorder, preferably in diabetic patients, but in a broader sense also in patients with syndrome X or in particular in patients with a renal dysfunction, disease and/or disorder, which patients are in addition hypertensive, obese, hyperglycemic and/or subject to metabolic disorder.

IT 182560-86-7 182560-97-0 182821-29-0
RLI PAC (Pharmacological activity), PEP (Physical, engineering or chemical process), PTP (Physical process), PTP (Physical process), STBU (Biological study), PROC (Process), USES (Uses) (compns. for the treatment of renal dysfunction in diabetic patients)
RN 182560-86-7 CAPLUS
RN 182560-86-7 CAPLUS
RN 182560-86-7 CAPLUS
RN 182560-86-7 CAPLUS

(Continued)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,a-(phenylmethyl) ester (9CI) (CA INDEX NAME)

182821-29-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-{[[1-((2R)-2-carboxy-4-phenylbuty]]cyclopentyl]carbonyl]amino}-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9C1) [CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER:

DOCUMENT NUMBER:

142:373707

111LE:

Preparation of sminocarbonylpropylcyclopentanecarbonyl amino oxobenzazepineacetates as inhibitors of neutral and human soluble endopeptidases for the treatment of cardiovascular disease, hypertension, sexual dysfunction, and apoptosis and as neuroprotective agents

INVENTOR(5):

Hoeltje, Dagmar; Fischer, Yvan; Ziegler, Dieter; Weske, Michael! Michaelis, Kathrin; Karimi-Nejad, Yasmin; Hessinger, Josef; Pahl, Axel; Hoefer, Constance; Ikonomidou, Hrisanthi; Turski, Lechoslaw Source:

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

PATENT INFORMATION:

DOCUMENT TYPE:

LANGUAGE:

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA?	ENT	NO.															
	wo.	2005	0307	95		A1		2005				004-					0040	
	-	W:										BG,						
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			TJ,	TM,	TN,	TR,	TT.	TZ,	UA,	UG,	US.	UZ,	VC.	VN.	YU,	ZA,	ZM.	ZW
		RW:	BW,	GH,	GM,	ΧE,	LS,	MV,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
												GA,						
			SN,	TD,	TG													
	DE	1034	4848			A1		2005	0414		DE 2	003-	1034	4848		2	0030	926
	US	2005	1192	47		A1		2005	0602		US 2	004-	9488	43		2	0040	924
PRIOR	IT	APP	LN.	INFO	. :						DE 2	003-	1034	4848		A 2	0030	926
											EP 2	004-	1000	65		A 2	0040	112
											US 2	003-	5309	90P		P 2	0031	222
											US 2	004-	5355	05P		P 2	0040	112
OTHER GT	SC	URCE	(5):			MAR	PAT	142:	3737	07								

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

(carboxyl) aminocarbonylpropyl cyclopentanecarbonylamino-substituted oxobenzazepineacetates I [R] = H, biolabile moiety; R2 = H, alkyl, (un)substituted hydroxyalkyl; R3 = alkyl, (un)substituted alkoxyalkyl, hydroxyalkyl; NR283 = (un)substituted heterocyclyl; R4 = H, biolabile moiety] such as II are prepared as inhibitors of neutral and human soluble endopeptidases for the treatment of cardiovascular disease, hypertension, sexual dysfunction, and apoptosis and for use as neuroprotective agents. Benzyl alc. and itaconic acid anhydride react regioselectively to give PhCH/OZCCHCC(:CH2)COZH which is esterified with ethanol followed by Michael addition of the dienolate of cyclopentanecarboxylic acid to give the substituted cyclopentanecarboxylic acid III; amidation of III with the

09/30/05

L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:419918 CAPLUS
DOCUMENT NUMBER: 143:125863
TITLE: BRUTUS: Optimization of a Grid-Based Similarity
Function for Rigid-Body Molecular Superposition. 1.
ALIGNMENT AND ALIGNMENT TOPIN NYCOREM, TOWN H.,
POSO, AND J., RenkKoe, Toni, Nycorem, Tommi H.,
POSO, ALTI:
Department of Pharmaceutical Chemistry, University of
Kucpio, Kucpio, 70211, Finland
Journal of Medicinal Chemistry (2005), 48(12),
4076-4086
CODEN: MMCMAR, ISSN: 0022-2623
AMERICAN MACHAR, ISSN: 0022-2623
AMERICAN CAPLUS AMERICAN AND ALIGNMENT TYPE: American Chemical Society
JOURNAL Rights
AB We have developed a Fast grid-based algorithm, BRUTUS, for rigid-body mol. superposition and similarity searching. BRUTUS aligns mols. using field information derived from charge distributions and van der Vaals shapes of the compds. Mols. can have similar biol, properties if their charge distributions and shapes are similar, even though they have different chemical structures; i.e., BRUTUS can identify compds, possessing similar properties, regardless of their structures. In this paper, we present two applications of ERUTUS. First, BRUTUS was used to superimpose five sects of inhibitors. Second, two sets of known inhibitors were searched from a database, and the results were snaltysed using self-organizing maps. We demonstrate that BRUTUS is successful in superimposing compds. using mol. fields and, importantly, is fast and accurate enough for virtual screening of structurally diverse, active mols.

IT 12980-23-0
RL: PAC (Pharmacological activity), THU (Therapeutic use), BIOL (Biological study), USES (USES)

129980-23-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(BRUTUS algorithm for rigid-body mol. superposition and similarity

searching) 129980-23-0 CAPLUS

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
nonracemic aminooxobenzazepineacetate IV, hydrogenolysis of the benzyl
group, and sepn. of the disstereomers by preparative HPLC, amidation with
isopropylamine, and hydrolysis of the Et and tert-Bu esters yields II and
its aminocarbonylpropyl side chain disastereomer. Biol. data for the
inhibition of neutral endopeptidase and human sol. endopeptidase by some
of the title compds. and for the anthypertensive, antiapoptotic, and
neuroprotective activities of some of the title compds. are given.
Methods for the prepn. of the title compds. are given.
Methods for the prepn. of the title compds. are claimed.

II 849631-63-89 849631-66-9P 849631-68-1P
849631-63-89 849631-68-9P 849632-23-9P
RLI PAC (Pharmacological activity); RCT (Reactant); SFN (Synthetic
preparation); PRCT (Reactant or reagent); USES (Uses)
(drug candidate) preparation of
aminocarbonylpropylcyclopentamecarbonylamino
cotobenzazepineacetates as inhibitors of endopeptidase for the treatment
of hypertension, sexual dysfunction, apoptosis, and brain damage)
RN 849631-65-8 CAPLUS
CN HH-1-Benzzepine1-acetic acid, 3-[[1-{(2R)-2-carboxy-4-{(3-hydroxypropyl)amino}-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-66-9 CAPLUS INI-leansatepine-l-sectic acid, 3-[[[]-[(25)-2-carboxy-4-[(3-hydroxyrropyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-68-1 CAPLUS

IH-1-Banzazepina-1-acetic acid, 3-[[[1-[2-carboxy-4-[(1-methylethyl)amino]-4-oxobutyl]yolopantyl[carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,

a-(1,1-dimethylethyl) ester, (3S)- (9CI) (CA INDEX NAME)

849631-88-5 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl {1-methylethyl} amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-20-8 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[{[1-{4-[(3-aminopropyl)ethylamino]-2-achboxy-4-acebbuyl-1cyclopentyl]carbonyl}amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-25-3 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl]1-methylethyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, a-ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 849631-40-9 CAPLUS 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(dimethylamino)-4-cxbutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) INDEX NAME)

849631-41-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(diethylamino)-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-42-1 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2-hydroxyethy])aethylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-43-2 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(3-hydroxypropy)]aethylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9C1) (CA INDEX NAME)

09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

0Et

849631-39-6P 849631-40-9P 849631-41-0P
849631-42-1P 849631-43-2P 849631-44-3P
849631-42-1P 849631-43-2P 849631-46-5P
849631-48-7P 849631-46-5P 849631-47-6P
849631-31-2P 849631-52-3P 849631-53-4P
849631-31-2P 849631-53-5P 849631-53-4P
849631-38-5P 849631-53-6P 849631-67-0P
849631-72-7P 849631-78-3P 849631-67-0P
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849631-30-0P 849631-81-8P 849631-79-4P
849631-30-0P 849631-81-8P 849631-85-2P
849631-0P-6P 849631-30-6P 849632-07-1P
849632-03-3P 849632-10-5P 849632-11-7P
849632-12-8P 849632-10-5P 849632-11-7P
849632-12-8P 849632-12-8P 849632-13-5P
849632-13-6P 849632-23-1P 849632-13-5P
849632-31-1P
RL: PAC (Fharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)
(drug candidate; preparation of coarbonylpropylcyclopentamacarbonylaming

(Uses)
(drug candidate; preparation of aminocarbonylpropylcyclopentanecarbonylpropylcyclopentanecarbonylamino oxobenzarepineacetates as inhibitors of endopeptidase for the treatment of hypertension, sexual dysfunction, apoptosis, and brain damage)

RN 849631-39-6 CAPIUS
CN 1H-1-Benzarepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl](1-methylathyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849631-44-3 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-hydroxy-1-piperidinyl)-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

849631-45-4 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[4-[(25]-2-amino-3-methyl-1-oxobutoxy]-1-piperidinyl]-2-carboxy-4-oxobutyl]cyclopentyl]carboxyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-46-5 CAPLUS 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-morpholinyl]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- [9CI) (CA INDEX NAME)

849631-47-6 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-oxo-4-(4-oxo-1-piperidiny1)buty1]cyclopenty1]carbony1}amino]-2,3,4,5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

849631-48-7 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[{1-[4-[bis(2-hydroxyethyl)amino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

849631-52-3 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl1[2-(methylainino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-53-4 CAPLUS

IH-1-Benzazepine-1-acetic acid, 3-[{[1-[4-{(4-aminobutyl)methylamino}-2-carboxy-6-xoxbutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-{9CI} (CA INDEX NAME)

849631-54-5 CAPLUS

IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(4-aminobuty1)ethylamino]-2-carboxy-4-oxobuty1]cyclopenty1]carbony1]amino]-2, 3, 4, 5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849631-49-8 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[ethyl[3-(ethylamino)propyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI) (CA INDEX NAME)

849631-50-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[[2-(dimethylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-51-2 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(3-aminopropyl)ethylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4,5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 849631-55-6 CAPLUS 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl[3-(methylamino)propyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-56-7 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-{{[1-{4-{(5-aminopentyl)methylamino}-2-carboxy-4-oxobutyl]cyclopentyl}carbonyl]amino}-2,3,4,5-tetrahydro-2-oxo-{9CI} (CA INDEX NAME)

849631-58-9 CAPLUS

IH-1-Benzazepine-1-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-[(1-methylethyl)amino]-4-cxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-59-0 CAPLUS
IH-1-Benzazapina-1-acetic acid, 3-[[[1-[(2S)-2-carboxy-4-{[1-nethylet-bul]anino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

RN 849631-67-0 CAPLUS

IN-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(3-hydroxypropy)] anino]-4-oxobutyl]cyclopentyl]carbonyl] anino]-2,3,4,5-tetrahydro-2-oxo-, a-ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-72-7 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2-methoxyethyl) amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-74-9 CAPLUS

CN IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(hexahydro-2-oxo-1H-azepin-3-y)] amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 849631-79-4 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-{{[1-[2-carboxy-4-oxo-4-[(2-oxo-2-phenylethyl)amino]butyl]cyclopentyl[carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

N 849631-80-7 CAPLUS

H1-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(cycloperpy/lachty)amino]-4-oxobuty]]cyclopenty]]carbonyl]amino]-2,3,4,5tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-81-8 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-[[4-methoxypheny]]methoy]amino]-4-oxobuty][cyclopenty][carbony][emino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 849631-76-1 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-morpholiny1)-4-oxobuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-77-2 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[{2-(4-methoxyphenyl)-2-oxoethyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

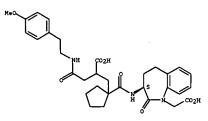
RN 849631-78-3 CAPLUS
CN 1H-1-Benzaepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(1,1-dimethyl-3-oxobutyl)amino]-4-oxobutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849631-82-9 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-[[2-{4-methoxyphenyl)ethyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (33)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849631-83-0 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[[(2-astboxyphenyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-84-1 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-oxo-4[(phenylmethyl)amino]butyl}cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro2-oxo-, (3S)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849631-85-2 CAPLUS CN 1H-1-Benzazpine-1-acetic acid, 3-[[[1-[2-carboxy-4-(methylamino)-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-97-6 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-[(1-methylethyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,
α-[(2-methoxyethoxy)methyl] ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-99-8 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2-hydroxyethyl)methylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 849632-07-1 CAPLUS
CN HH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2,3-dihydroxyproyy)]methylamino]-4-oxobuty]]cyclopenty]]carbony]amino]2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 849632-08-2 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[ethy1[3-(ethylamino]propyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-10-6 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-(dimethylamino)-4-oxobuty]]cyclopenty]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849632-01-5 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[{1-[2-carboxy-4-oxo-4-(4-oxo-1-piperidinyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,
(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-05-9 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-oxo-4-[1-pyrrolidiny]]butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-06-0 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-[3-(hydroxymethy1)-1-piperidiny1]-4-oxobuty1]cyclopenty1]carbony1]amino]-2, 3, 4, 5-tetrahydro-2-oxo-, (35) - (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849632-11-7 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[1-{2-carboxy-4-(diethylamino)-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-12-8 CAPLUS
CN 1H-1-Benzazpine-1-acetic acid, 3-[{[1-(2-carboxy-4-[(3-hydroxyrcpy)] nethylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-13-9 CAPLUS
CN HH-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-(4-hydroxy-1-piperidinyl)-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-, (33)- (9C1) (CA INDEX NAME)

849632-14-0 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[bis(2-hydroxyethyl)amino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-15-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-[{2-(dimethylamino) ethyl]methylamino]-4-oxobutyl]cyclopentyl]carbonyl}amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-16-2 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[[3-(dimethylamino)propyl]methylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

849632-27-5 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(4-aminobuty1)methylamino]-2-carboxy-4-oxobuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

849632-28-6 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[1-{4-[(4-aminobutyl)ethylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

849632-29-7 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[{[1-[2-carboxy-4-[methyl[3-(methylaino]r-6-oxobutyl]-cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849632-19-5 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[[3-aminopropyl]methylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-21-9 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[([1-[2-carboxy-4-[methyl1[2-(methylanino)+thyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-23-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[4-(4-[(2S)-2-amino-3-methyl-1-oxobutoxy]-1-piperidinyl]-2-carboxy-4-oxobutyl]cyclopentyl]carboxyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849632-30-0 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(5-aminopentyl)methylamino]-2-carboxy-4-oxobutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

849632-31-1 CAPLUS IN-1-Benzazepine-1-acetic acid, 3-[[1-[4-[(5-aminopentyl)ethylemino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 849632-33-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Reactant or reactant or reactant of endopeptidase for the treatment of hypertension, sexual dysfunction, apoptosis, and brain damage)
(Reactant or reactant o

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

IT 849632-35-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminocarbonylpropylcyclopentanecarbonylpromocarbonylpropylcyclopentanecarbonylpromocarbonylpropylcyclopentanecarbonylpromoc

Absolute stereochemistry.

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

129980-23-0 CAPLUS L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

.09/30/05

L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
117LE:
2005:158503 CAPLUS
124:254628
Compositions of a chromene or phenylacetic acid cyclooxygenase-2 selective inhibitor and an ACE inhibitor for the treatment of central nervous system damage
INVENTOR(S):
PATENT ASSIGNEE(S):
PARENT ASSIGNEE(S):
POURCE:
PARENT INVENTOR:
PARENT INVENTATION:
PARENT INVENDATION:
English
PARENT INVENDATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.															
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WO 200	50162	49		A2		2005	0224	1	WO 2	DO4-I	JS21	744		21	0040	708
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selective inhibitor. 129980-23-0 129980-23-0D, isomers, esters, salts, or

12990-23-0 12990-23-UD, isomers, esters, salts, or prodrug derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study), USES (Uses) (chromene or phenylacetic acid cyclooxygenase-2 selective inhibitor-ACE inhibitor combination for treatment of central nervous system damage) 12990-23-0 CAPLUS 12350-23-0 CAPLUS L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE: 2004:1035011 CAPLUS
1121:33016
Neutral endopeptidase inhibitors for the treatment of female sexual dysfunction
Maw Graham Nigel: Wayman, Christopher Peter
PATENT ASSIGNEE(S): SURCE: 2004:1035011 CAPLUS
1021:33016
Neutral endopeptidase inhibitors for the treatment of female sexual dysfunction
Maw Graham Nigel: Wayman, Christopher Peter
Pfizer Limited, UK, Pfizer Inc.
CODEN: EFEXEND
DOCUMENT TYPE: Patent
LIMITED ACC. NUM. COUNT:
PAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:

PAT	TENT	NO.			KIN		DATE			API	LI	CAT	ION	NO.			DATE	
EP	1481				A1		2004	1201					2097				20001	
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	2005				A1		2005						6862				20031	
	2005				A1		2005						68 63				20031	
	2005				A2		2005						2686				20040	
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ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal disorder, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmacountically acceptable carrier, diluent or excipient. The agent is an inhibitor of neutral endopeptidase.

Preparation of selected compds., e.g. I, is included.

137962-96-28 337962-71-79 337962-76-2P
337962-93-3P
RL: PAC (Pharmacological activity), SPN (Synthetic preparation); THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)
(neutral endopeptidase inhibitors for treatment of the sexual dysfunction).

(neutral endopeptidase inhibitors for treatment of female sexual

dysfunction)
337962-68-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-\(\alpha\)-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutanoic acid, $\alpha-[[1-\{[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)$

ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-76-2 CAPLUS 33/962-76-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-(phenylmethyl)-3pyridinyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-93-3 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-α-propyl- (9CI) (CA INDEX NAME)

ΙŤ 337962-89-79

RE: SPN (Synthetic preparation): PREP (Preparation)
[neutral endopeptidase inhibitors for treatment of female sexual dysfunction)
337962-89-7 CAPLUS

Cyclopentanepropancic acid, 1-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-\(\alpha\)-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11112:
INVENTOR(s):
PATENT ASSIGNEE(s):
SOURCE:
PATENT ASSIGNEE(s):
FOR INVENTOR TYPE:
LANGUAGE:
PATENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		KIN		DATE			APPL					D.	ATE		
WO 20040567	87			2004	0708							2	0031	212	
W: AE,	AG, AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
co,	CR, CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
GH,	GM, HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	K2,	LC,	LK,	
LR,	LS, LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MV,	MX,	MZ,	NI,	NO,	NZ.	
OM,	PG, PH,	PL,	PT.	RO.	RU,	SC.	SD.	SE.	SG.	SK.	SL.	SY.	TJ.	TM.	
TN,	TR, TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	GH, GM,												AM.	AZ.	
	KG, KZ,														
	FI, FR,														
	BF, BJ,														TG
CA 2511360		AÀ		2004	0708		CA 2	003-	2511	360	,	2	0031	212	
EP 1578735															
R: AT,	BE, CH,	DE.	DK.	ES.	FR.	GB.	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.	
	SI, LT,														
US 20041382														218	
NL 1025116		A1		2004	0624		NL 2	003-	1025	116		2	0031	223	
NL 1025116		C2		2004	1018										
PRIORITY APPLN.	INFO.:						GB 2	002-	3002	5	- 1	A 2	0021	223	
							US 2								
							WO 2								
OTHER SOURCE(S):		MARI	PAT	141:	1064							_			

$$R^{4}-0$$
 R^{1}
 $R^{4}-0$
 R^{2}
 R^{2}

The title compds. I [R1 = C1-C6alky1, C1-C6alkvyC1-C3alky1, or C1-C6alkoxyC1-C6alkoxyC1-C6alkoxyC1-C6alkvy1 L = an aromatic heterocyclic ring, optionally substituted with C1-C6alky1 or halo; R3 = C1-C6alky1 optionally substituted by halo, alkoxy, haloalkoxy, alky1thio, haloalky1thio or nitrile group, or R3 is Ph or aromatic heterocycly1 each of which may be independently substituted by one or more alky1, halo, haloalky1, alkoxy, haloalkoxy, alky1thio, haloalky1thio or nitrile group; R4, R5 = either both hydrogen, or one of R4 and R5 is hydrogen and the other is a biolabile ester; p = 0-2; and q = 1 or 2] were prepared as neutral endopeptidase inhibitors for the treatment of cardiovascular disorders or related diseases. For example, reaction of (25)-2-Amino-3-[5-(4-chloropheny1)-oxazo1-2-y1)-propionic acid Et ester hydrochloride (preparation given) and 1-[(25)-2-(tert-butoxycarboxy1)-4-methoxybuty1] cyclopentanecarboxylic acid yielded (25)-2-[1[(15)-1-Ethoxycarboxy1-2-4-methoxy-butyric acid tert Bu ester, which when treated with trifluoroacetic acid furnished compound II. The prepared ds.

ods.
are potent inhibitors of neutral endopeptidase.
719307-43-4P 719307-46-7P 719307-58-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of cyclopentyl glutaramide derivs. as neutral endopeptidase inhibitors)
719307-43-4 CAPLUS
2-ONazolepropanotic acid, α-[{[1-{(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-4-methyl-5-phenyl-, monoethyl

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
719307-66-19 719307-67-29 719307-68-39
719307-69-49 719307-10-79 719307-71-89
719307-79-99 719307-73-09 719307-74-19
719307-78-59 719307-76-39 719307-77-49
719307-78-59 719307-96-97 719307-89-99
719307-81-09 719307-82-19
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclopentyl glutaramide derivs. as neutral endopeptidase inhibitors)
719307-44-5 CAPLUS
2-Owazolepropanoic acid, a-[[[1-[(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]aminol-5-(4-fluorophenyl)-, monoethyl ester, (45)- (9CT) (CA NODEX NAME)

Absolute stereochemistry. Rotation (-).

719307-45-6 CAPLUS 2-Oxazolepropanoic acid, $\alpha-\{[[1-\{(2s)-2-carboxy-4-methoxybuty]\} cyclopenty]] carbonyl] amino]-5-phenyl-, monoethyl ester, (<math>\alpha S$)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-47-8 CAPLUS
2-0xazolepropancic acid, a=[[[1-[(2S)-2-carboxy-4-methoxybuty]]oyclopenty]|carboxy]|amino]-5-[4-chloropheny]-, monoethylester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/30/05

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN ester, (as)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry. Rotation (-).

719307-46-7 CAPLUS
2-Owazolepropanoic acid, a-[{[1-{(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-5-phenyl-, monoethyl ester, (aS)- (9CI) (CA INDEX NAME)

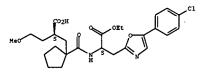
Absolute stereochemistry. Rotation (-).

719307-55-8 CAPLUS 4-Oxazolepropanoic acid, α -[[[1-{(2R)-2-carboxypenty]|cyclopenty]|carboxyplamino]-5-phenyl-, monoethyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

719307-44-59 719307-45-6P 719307-47-6P 719307-48-9P 719307-48-9P 719307-50-3P 719307-51-6P 719307-51-6P 719307-51-6P 719307-51-6P 719307-51-6P 719307-60-5P 719307-61-6P 719307-60-5P 71930

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



719307-48-9 CAPLUS
2-0xazolepropanoic acid, a-{{[1-{(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino}-5-phenyl-, mono(2-methylpropyl)ester, (aS)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

719307-49-0 CAPLUS 2-0xazolepropanoic acid, α -{[[1-[(2S)-2-carboxy-4-mathoxybutyl]cyclopentyl]carbonyl]amino]-5-phenyl-, mono(1-methylethyl)ester, (cS)- (CC) INDEX NAME)

Absolute stereochemistry.

719307-50-3 CAPLUS
2-Oxazolepropanoic acid, a-[[[1-[(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-4-phenyl-, monoethyl ester, (aS)- [9CI] (CA INDEX NAME)

719307-51-4 CAPLUS
2-0xazolepropanoic acid, a-{{[1-{(25)-2-carboxy-4-methoxybuty]-cyclopenty}}carboxyl}amino]-5-phenyl-, monopropyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-52-5 CAPLUS
2-0xazolepropanoic acid, a-{{{1-{(25)-2-carboxy-4-methoxybuty] cyclopentyl} carbonyl}amino}-5-phenyl-, monobutyl ester, (aS)- (9C1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-Oxazolebutanoic acid, β -[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-5-phenyl-, α -ethyl ester, (β S)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

719307-59-2 CAPLUS .
2-Oxazolepropancic acid, \(\alpha - \left[\{1-\{2\}\} -2-carboxy-4-\)
methoxybutyl] cyclopentyl] carbonyl] amino]-5-phenyl-, monocyclopentyl ester,
(\alpha S) - \{9CI\} (CA INDEX NAME)

Absolute stereochemistry.

719307-60-5 CAPLUS 2-0xazolepropancic acid, $\alpha-[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopentyl]carbonyl]amino]-5-phenyl-, mono(1-ethylpropyl)ester, <math>(\alpha S)-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-53-6 CAPLUS 2-0xazolepropanoic acid, $\alpha-[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopentyl]carbonyl]amino]-5-phenyl-, mono(phenylmethyl) ester, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-54-7 CAPLUS 2-0xazolepropanoic acid, a-[[[1-[(2R)-2-carboxypenty]]cyclopenty]]carbonyl]amino]-4-phenyl-, moncethyl ester, (aS)- [9C1] (CA INDEX NAME)

Absolute stereochemistry.

719307-56-9 CAPLUS

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-61-6 CAPLUS 2-0xazolepropanoic acid, α -[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopenty]|carboxy]|amino]-5-phenyl-, mono(2-butoxyethyl)|ester, (α S)- (9CI) (CA INDEX NAME)

719307-62-7 CAPLUS lH-Imidazole-1-propanoic acid, α -[[[1-{(25)-2-carboxy-4-mathoxybuty]cyclopeatty]|carbonyl]amino]-4-phenyl-, monoethyl ester, (α 5)- (9CI) (CA INDEX NAME)

719307-63-8 CAPLUS
2-OMEZOlepropanoic acid, a-[{[1-{(2R)-2-carboxypeartyl]cyclopentyl]carboxyplanino]-5-phenyl-, monobutyl ester, (aS)- {9Cl} (CA INDEX NAME)

719307-64-9 CAPLUS 2-Oxazolepropanoic acid, a-[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carboxyplamino]-5-phenyl-, monopropyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Absolute stereochemistry.

719307-66-1 CAPLUS
2-0xazolepropanoic acid, α-[[[1-[(2s)-2-carboxy-4-

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN INDEX NAME) (Continued)

Absolute stereochemistry. Rotation (-).

719307-70-7 CAPLUS 2-0xazolepropanoic acid, α-[[[1-[[2R]-2-carboxypentyl]cyclopentyl]carbonyl]amino]-5-phenyl-, (αS)- [9CI] (CA INDEX NAME]

Absolute stereochemistry. Rotation (-).

719307-71-8 CAPLUS 2-0xazolepropanoic acid, α -[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopentyl]carbonyl]amino]-5-(4-chlorophenyl)-, (α S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

719307-72-9 CAPLUS
2-Oxazolepropanoic acid, a-{{[1-{(25)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-4-phenyl-, (a5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

09/30/05

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methoxybutyllcyclopencyllcarbonyllaminol-4-methyl-5-phenyl-, (aS)-(SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-67-2 CAPLUS
2-Oxazolepropanoic acid, c-[[[1-[(2S)-2-carboxy-6-methoxybuty]]cyclopenty]]carbonyl]amino]-5-(4-fluorophenyl)-, (aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

719307-68-3 CAPLUS

2-Oxazolebutanoic acid, β-{[[1-[(2R)-2-carboxypenty1]cyclopenty1]carbonyl]amino]-5-phenyl-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-69-4 CAPUS
1,2,4-Oxadiszole-5-propanoic acid, a-[[[1-[(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]aminoj-3-phenyl-, (aS)- (9CI) (CA

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-73-0 CAPLUS
5-Owazolepropancic acid, α -[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-2-phenyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-74-1 CAPLUS
4-Oxazolepropancic acid, a-[{[1-{(2R)-2-carboxypentyl]cyclopentyl]carboxyl]amino]-5-phenyl-, (aS)- (9CI)
(CA INDEX NAME)

719307-75-2 CAPLUS
2-Owazolepropancic acid, a-[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-4-phenyl-, (aS)- {9CI}(CA INDEX NAME)

Absolute stereochemistry.

RN 719307-76-3 CAPLUS

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1,2,4-Oxadiazole-3-propanoic acid, a-[[[1-[(25)-2-carboxy-4-methoxybuty]cyclopentyl]carbonyl]amino]-5-phenyl-, (a5)- (9CI) (CA INDEX NAME)

719307-77-4 CAPLUS'

1H-Pyrazole-1-propanoic acid, a-[[[1-{[2R}-2-carboxypentyl]cyclopentyl]carbonyl]amino]-4-phenyl-, (aS)- {9CI}(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

719307-78-5 CAPLUS 2-0xazolepropancic acid, $\alpha-[\{[1-\{(2R)-2-carboxypenty]\}cyclopentyl\}carboxyl]amino]-4-\{2-methylpropyl\}-, (<math>\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-79-6 CAPLUS 2-Owazolepropanoic acid, α -[{[1-[(2R)-2-carboxypentyl]cyclopentyl]carboxyl]amino]-4-ethyl-, {\alpha\$} (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-80-9 CAPLUS
1,3,4-Oxadizzole-2-propanoic acid, q-[[[1-[(25)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-5-phenyl-, (qS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array} \begin{array}{c} & & & \\ & & \\ & & \\ & & \\ \end{array} \begin{array}{c} & & \\ & \\ \end{array} \begin{array}{c} & & \\ \end{array} \begin{array}{c} & & \\ & \\ \end{array} \begin{array}{c} & & \\ \end{array} \begin{array}{c} & & \\ & \\ \end{array} \begin{array}{c} & & \\ \end{array} \begin{array}{c$$

719307-81-0 CAPLUS
2-Owazolepropancic acid, a-{[[1-{(25)-2-carboxy-4-methoxybutyl]cyclopentyl}carbonyl]amino]-5-phenyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-82-1 CAPLUS
1,2,4-Oxadiazole-5-propanoic acid, \(\alpha\-[\{\frac{1}{2}\}-2-\)
carbowypentyl]cyclopentyl]carbonyl]amino]-3-phenyl-, (\(\alpha\)S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:188456 CAPLUS
DOCUMENT NUMBER: 140:366905
ITILE: SURPCOMP: A Novel Graph-Based Approach to Molecular
SURFCOMP: A Novel Graph-Based Approach Approach to Molecular
SURFCOMP: A Novel Graph-

SURFCOMP as novel graph-based approach to mol. surface comparison in drug design applied to dihydrofolate reductase and thermolysin inhibitors to determination alignments of compds. to active sites) 129980-23-0 CAPLUS
L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

137:370356

11TLE:

Preparation and use of bombesin receptor antagonists for treatment of sexual dysfunction in males and females

Gonzalez, Haris Isabel; Higginbottom, Michael; Stock, Herman Thijs; Pritchard, Hartyn Clive; Pinnock, Robert Denham Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Hark; Wayman, Christopher Peter

UK

PATENT ASSIGNEE(S):

US. Pat. Appl. Publ., 105 pp., Cont.-in-part of U.S. Pat. Appl. 2002 58,606.

COEN: USXXXCO

DOCUMENT TYPE:

LANGUAGE:

PATENT INFORMATION:

10

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169101	A1	20021114	US 2001-999284	20011115
US 2002058606	A1	20020516	US 2001-759777	20010112
ZA 2003003249	A	20040623	ZA 2003-3249	20030425
PRIORITY APPLN. INFO.:			US 1999-133355P P	19990510
			WO 2000-GB1787 W	20000510
			US 2000-700165 A	20001109
			US 2001-759777 A	20010112
			GB 2001-9910 A	20010423
			GB 2001-11037 A	20010504
OTHER SOURCE(S):	MARPAT	137:370356		

Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example PDES inhibitors, NEP inhibitors and lasofoxifene. Preparation of bombesin receptor antagonists consisting of s-Me

ANSWER 9 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

09/30/05

ANSWER 9 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) tryptophane (e.g., I) or a-methylphenylalanine derivs. was given. In tests on sexually-dysfunctional nale rats, it was concluded that I had a stimulatory effect, at the level of sexual desire, performance, and anorgasmy. In tests on sexually-dysfunctional female rats, it was concluded that I had a stimulatory effect on proceptivity, which was unaffected by repeated administration.

337962-93-3P
RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses)
(preparation of as bombesin receptor antagonists for treatment of sexual dysfunction)
337962-93-3 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-y1) amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

ΙT

337962-74-0P 388630-36-2P
RL: PUR (Purification or recovery); PREP (Preparation)
(preparation of as bombesin receptor antagonists for treatment of sexual dysfunction)
378-274-0 Capausi
Cyclopentanepropanic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino|carbonyl]-a-propyl-, (aS)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

388630-36-2 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-\u03c4-propyl-, (\u03c4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002: 391522 CAPLUS

DOCUMENT NUMBER: 156:395983

Embedin receptor antagonists, and combinations with other agents, for the treatment of sexual dysfunction Gonzalez, Maria 1sabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

FOT Int. Appl., 225 pp.

DOCUMENT TYPE: Patent

Patent English 10

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S): HARPAT 136:395983

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BBI antagonists or mixed BBI/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral endopeptidase

ANSWER 10 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) inhibitors, and lasofoxifens. Prepn. of compds. of the invention is described.
388630-36-29
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (bombesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)
388630-36-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-e-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

337962-74-0P
RL: SFN (Synthetic preparation), PREP (Preparation)
(bombesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)
337962-74-0 CAPUS
Cyclopentanepropanoic acid, 1-{{{S-ethyl-1,3,4-thiadiazol-2-yl) amino|carbonyl}-α-propyl-, (αS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 11 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (treatment of male sexual dysfunction using neutral endopeptidase inhibitors and their combination with phosphodiesterase type 5 inhibitors and other agents in relation to inhibition of angiotensin inhibitors and other agents in relation to inhibition of anyiether converting enzyme) 337962-68-2 CAPLUS (Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutanoic acid, $\alpha-\{[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)$

337962-74-0 CAPLUS Cyclopentanepropanoic acid, 1-[{(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl}-α-propyl-, (aS)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

388630-36-2 CAPLUS

Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/30/05

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION. Patent English 10

PA3	ENT :	NO.												D	ATE	
	2002				A2	2002	0117	1		001-				2	0010	702
40	2002					2002				200	-	P.1/		~-	~	~
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	DU.					MZ.									œ	~v
	14.					GB,										
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ZA	2003	0044	60		A	2004	0624	- 1	ZA 2	003-	4460			2	0030	609
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OTHER SOURCE(S): WO 2001-181187 W 20010702

R SOURCE(S): MARPAT 136:96099

The present invention relates to the use of neutral endopeptidase inhibitors (NEPt) and a combination of NEPt and phosphodiesterase type (PDES) inhibitor for the treatment of male sexual dysfunction, in

particular MED. 337962-68-29 337962-71-79 337962-74-0P 388630-36-29

Justing A. (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ANSWER 11 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

337962-93-3P
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(treatment of male sexual dysfunction using neutral endopeptidase inhibitors and their combination with phosphodiesterase type 5 inhibitors and other agents in relation to inhibition of angiotensin converting enzyme 337962-93-3 CAPLUS
CYclopentanepropanoic acid. 1-[[(5-ethyl-1.3.4-thiadiazol-2-

Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:31403 CAPLUS

DOCUMENT NUMBER: 136:102126

Cyclopentyl-substituted glutaranide derivatives as inhibitors of neutral endopertidase, and their preparation and use in the treatment of female sexual arousal disorder

Barber, Christopher Gordon; Cook, Andrew Simon; Maw, Graham Nigel; Pryde, David Cameron; Stobie, Alan Pfizer Limited, UK; Pfizer Inc.

DOCUMENT TYPE: Patent

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

FAIRNI	INFOR	UVI I	on:														
	TENT				KIN		DATE				ICAT						
	2002				A1												
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CA	2414	RRI			AA		2002	0110		CA 2	2001-	2414	881		2	0010	702
AU	2001	0677	70		A5		2002	0114		AU 2	2001-	6777	0		2	0010	702
EP	2001 1296	938	•		A1		2003	0402		EP 2	001-	9455	57		2	0010	702
_	R:	AT.	BE.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.
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JP	2001 2004	5026	70		T2		2004	0129		JP 2	002-	5077	70		2	0010	702
NZ	5223	68			A		2004	1224	1	NZ 2	001-	5223	68		2	0010	702
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										US 2	001-	2749	57P	j	2	0010	312
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OTHER SO	OURCE	(S):			MARI	AT	136:	1021									

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRP (Properties), PUR (Purification or recovery), SPN (Synthetic
preparation), THU (Therapeutic use), BIOL (Biological study), PRPP
(Preparation), USES (Uses)
(drug candidate, prepn. of cyclopentyl-substituted glutaramide derivs.
as neutral endopeptidase inhibitors, for treatment of female sexual
arousal disorder)
398530-36-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2yl)amino]carbonyl}-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

337962-93-3P, 2-[[1-[[(5-Ethyl-1,3,4-thiadiazol-2-yl]amino]carbonyl]cyclopentyl]methyl]pentanoic acid
RL: PAC (Pharmacological activity); PEF (Physical, engineering or chemical process); PYF (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate; preparation of cyclopentyl-substituted glutaramide

us.
as neutral endopeptidase inhibitors, for treatment of female sexual arousal disorder)
337962-93-3 CAPLUS
Cyclopentanepropanoic acid, 1-[[{5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-59-99, (-)-(2R)-2-[[1-[[(5-Ethyl-1,3,4-thiadiazol-2-yl] smino]carbonyl]cyclopentyl]methyl]pentanoic acid sodium salt RL: PAC (Pharmacologica) activity) PRP (Properties), SPN (Synthetic preparation): THU (Therapsutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (drug candidate: preparation of cyclopentyl-substituted glutaramide

us.

as neutral endopeptidase inhibitors, for treatment of female sexual arousal disorder)

38630-59-9 CAPLUS

Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino[carbonyl]-a-propyl-, monosodium selt, (sR)- (9CI)

(CA INDEX NAME)

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L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

$$\underset{H02C}{\overset{R^1}{\longleftarrow}\overset{H}{\underset{0}{\longleftarrow}}\overset{Y}{\underset{n}{\longleftarrow}}}$$

The invention provides compds. I [wherein: Rl = (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, alkony, amino derivative, or sulfonylamino derivative; n = 0, 1, or 2; Y = (un)substituted cycloalkyl, carbamoyl, 2-indenyl, aza- or diszainden-2-yl, 5- to 7-membered heterocyclyl, or sulfonylamino; with provisos] and their pharmaceutically acceptable salts, solvates, polymorphs, or prodruys. I are inhibitors of neutral endopeptidase (NEP), and as such are useful for treating a variety of conditions. In particular, the compds, are useful for treatment of female sexual dysfunction, and especially female sexual arousal disorder (FSAD). Almost 60 synthetic examples and over 100 precursor prepns, are given. For instance, 1-[2]-(tert-butoxycarbonyl)-4-pentenyl]-cyclopentanecarboxylic acid was hydrogenated at the double bond (918), amidated with piperonylamine using EDCI and HOST, and deprotected with TFA, to give title compound II. The example compds. inhibited NEP in vitro with ICSO < 5000 mM, with many compds. showing at least 300-fold selectivity for NEP over angiotensin converting enzyme (ACE). An animal model of human female sexual arousal was developed, using laser doppler technol. to record small changes in vaginal and clitoral blood flow induced by pelvic nerve stimulation or vasocative neurotransmitters in anesthetized rabbits. In this model, invention compound III significantly enhanced pelvic nerve-stimulated increases in genital blood flow at clin. relevant doses, using both i.v. and topical (vaginal) application. 38850-36-2P, (-)-(2R)-2-([-1([5-Ettyl-1], 3,4-thiadiszol-2-yl) aminol carbonyl cyclopentyl methyl pentancic acid
RL: BSU (Biological study, unclassified), PAC (Pharmacological activity);

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).

● Na

337962-74-0P, (+)-(2S)-2-[[1-[(5-Ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl|cyclopentyl|methyl|pentanoic acid
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
(Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study);
PREF (Preparation); USSS (Uses)
(drug candidate; preparation of cyclopentyl-substituted glutaramide

as neutral endopeptidase inhibitors, for treatment of female sexual arousal disorder) 337962-74-0 CAPLUS

Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-\(\alpha\)-propyl-, (\(\alpha\)S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-68-2P, 2-[[1-[[(1-Benzyl-6-oxo-1,6-dihydro-3-pyridinyl) maino] carbonyl] cyclopentyl] methyl] -4-methoxybutanoic acid 337962-71-7P, 2-[1-[[(5-Hethyl-1,3,4-thiadiazol-2-yl) smino] carbonyl] cyclopentyl] methyl] -4-phenylbutanoic acid 337962-76-2P, 2-[1-[[(1-Benzyl-6-oxo-1,6-dihydro-3-pyridinyl) amino] carbonyl] cyclopentyl] methyl] pentanoic acid 337962-89-7P, 2-[(1-[[(1,3-Benzodixoxl-5-ylmethyl] pentanoic acid 38630-13-5P, 2-[1-[[(1,5-Hethyl-1,3,4-thiadiazol-2-yl) amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-14-6P, 2-[(1-[[(1,5-Hethyl-1,3,4-thiadiazol-2-yl) amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-14-6P, 2-[(1-[[(1-Hethyl-2-(2-coxpyrrolidin-1-yl) ethyl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-16-8P, 2-[(1-[[(1-Hethyl-2-(2-coxpyrrolidin-1-yl) ethyl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-19-1P, 2-[[1-[([2-(1H-Indol-3-yl) ethyl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-22-0-4P, 2-[(1-[[((33)-1-Benzyl) pentanoic acid 38630-22-7P, 2-[(1-[[(2-(2-0xo-1-piperidinyl) ethyl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-28-7P, 2-[(1-[[(2-(2-0xo-1-piperidinyl) ethyl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 38630-28-6P,

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(-)-(2R)-2-[[1-[[[5-[Cyclopropyllathyl]-1,3,4-thiadiazol-2-yl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 388630-27-1P
(-)-(2R)-2-[[1-[[5-[Ckthowynethyl]-1,3,4-thiadiazol-2-yl] amino] carbonyl] cyclopentyl] methyl] pentanoic acid 388630-28-2P

, 2-[[1-[[3-Pyridinylamino] carbonyl] cyclopentyl] methyl] pentanoic acid 388630-28-2P

, 2-[[1-[[(3-Pyridinylamino] carbonyl] cyclopentyl] methyl] pentanoic acid 388630-32-3P

tyl] methyl] pentanoic acid 388630-32-2P

tyl] methyl] pentanoic acid 388630-32-2P

tyl] methyl] methologomyl cyclopentyl] methyl] pentanoic acid 388630-38-4P

tyl] methyl] methologomyl cyclopentyl] methyl] pentanoic acid 388630-39-5P

tyl] methyl] methologomyl cyclopentyl] methyl] pentanoic acid 388630-49-1P

tyl] methyl] butanoic acid 388630-48-6P

tyl] methyl] butanoic acid 388630-48-6P

thiadiazol-2-yl] amino] carbonyl] cyclopentyl] -2-[2-methowyethyl) propanoic acid 388630-49-7P

3-[1-[[(4-Butyl-tyridin-2-yl) amino] carbonyl] cyclopentyl] -2-[2-methowyethyl) propanoic acid 388630-50-09

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3-[1-[[(4-Butyl-tyridin-2-yl) amino] carbonyl] cyclopentyl] -2-[2-methowyethyl) propanoic acid 388630-50-09

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(Uses)
(drug candidate; prepn. of cyclopentyl-substituted glutaramide derivs.
as neutral endopeptidase inhibitors, for treatment of female sexual
arousal disorder)
337962-68-2 CAPLUS

Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-\alpha-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS
Benzenebutanoic acid, α -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

388630-16-8 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1-methyl-2-(2-oxo-1-pyrrolidinyl)ethyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-19-1 CAPLUS Cyclopentanepropanoic acid, 1-([[2-(1H-indol-3-yl)ethyl]amino]carbonyl]-a-propyl- (9C1) (CA INDEX NAME)

388630-20-4 CAPLUS
Cyclopentanepropanoic acid, 1-{{[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]amino)carbonyl}-a-propyl- (9CI) (CA INDEX NAME)

388630-23-7 CAPLUS
Cyclopentanepropanoic acid, 1-[[[2-(2-oxo-1-piperidinyl)ethyl]amino]carbon
yl]-a-propyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

337962-76-2 CAPLUS
Cyclopentamepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]maino|carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7 CAPLUS Cyclopentanepropanoic acid, 1-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-w-propyl- (9CI) (CA INDEX NAME)

388630-13-5 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-14-6 CAPLUS Cyclopentanepropanoic acid, 1-{[[(5-methyl-1,3,4-thiadiazol-2-yl)methyl]amino]carbonyl)-a-propyl- (CA INDEX NAME)

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

388630-26-0 CAPLUS Cyclopentanepropanoic acid, 1-[[[5-(cyclopropylmethyl)-1,3,4-thiadiazol-2-yl]amino]carbonyl]-\(\alpha\)-propyl-, (\(\alpha\))- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

388630-27-1 CAPLUS Cyclopentanepropanoic acid, 1-[[[5-(ethoxymethyl)-1,3,4-thiadiazol-2-yl]amino]carbonyl]-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

388630-28-2 CAPLUS Cyclopentanepropanoic acid, a-propyl-1-[(3-pyridinylamino)carbonyl]-(9CI) (CA INDEX NAME)

388630-29-3 CAPLUS Cyclopentanepropanoic acid, 1-[[(4-butyl-2-pyridinyl)amino]carbonyl]- ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN α -propyl- (9CI) (CA INDEX NAME) (Continued)

388630-32-8 CAPLUS
Cyclopentanepropanoic acid, 1-[[[5-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-38-4 CAPLUS Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-{phenylmethyl}-3-pyridinyl]amino]carbonyl]-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$\bigcap_{n-P} \bigcap_{CO_2H} \bigcap_{0} \bigcap_{Ph}$$

388630-39-5 CAPLUS Cyclopentanerropanoic acid, 1-[[(4-butyl-2-pyridinyl)amino]carbonyl]-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN - pyridinyl)amino]carbonyl] - (9Cl) (CA INDEX NAME)

388630-58-8 CAPLUS Cyclopentanepropanoic acid, $1-[[\{2,3-dihydro-2-benzofuranyl]methyl]amino]carbonyl]-<math>\alpha$ -(2-methoxyethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

388630-43-1 CAPLUS
Benzenebutanoic acid, a-[[1-([3-pyridinylamino]carbonyl]cyclopentyl]
methyl]- (9CI) (CA INDEX NAME)

388630-48-6 CAPLUS Cyclopentanepropanoic acid, a-(2-methoxyethyl)-1-[[[5-(phenylmethyl)-1,3,4-thiadiszol-2-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

388630-49-7 CAPLUS Cyclopentanepropanoic acid, 1-[{(4-buty1-2-pyridinyl)amino]cerbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

388630-50-0 CAPLUS Cyclopentanepropanoic acid, a-(2-methoxyethyl)-1-[[(4-phenyl-2-

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 1097719	A1 20010509	EP 2000-309722	20001103
			20001103
EP 1097719	B1 20041222		
		GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI, RO		
EP 1481667	A1 20041201		20001103
	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, PT, IE,
FI, CY, TR			
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PT 1097719	T 20050429		20001103
ES 2233297	T3 20050616		20001103
		ZA 2000-6374	20001106
ZA 2000006375 ZA 2000006376	A 20020506	ZA 2000-6375	20001106
ZA 2000006376	A 20020506	ZA 2000-6376	20001106
ZA 2000006378	A 20020506	ZA 2000-6375 ZA 2000-6376 ZA 2000-6378	20001106
AU 781186	B2 20050512	AU 2000-71411	20001106
AU 781400	B2 20050519	AU 2000-71407	20001106
AU 781403			
CA 2323183	B2 20050519 AA 20010508		20001107
CA 2323191	AA 20010508		
CA 2323464	AA 20010508		20001107
CA 2324484	AA 20010508	CA 2000-2324484	20001107
NO 2000005618	A 20010509		20001107
NO 2000005661	A 20010509		20001107
NO 2000005662	A 20010509		20001107
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CN 1322526	A 20011121		20001107
CN 1328824	A 20020102		
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NZ 508011	A 20020628		20001107
NZ 508012	A 20020628		20001107
BR 2000005266	A 20030408		20001107
JP 2001206855	A2 20010731		20001107
JP 2001213802	A2 20010807		20001108
JP 2001213802	A2 20010901		20001108
JP 2001247479	A2 20010911		
BR 2000005276	A 20030408		20001108
BR 2000005279	A 20030408		20001108
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	A1 20050127	US 2003-686390 US 2003-686282	20031015
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JP 2005013237	A1 20050331 A2 20050120	US 2003-686349 JP 2004-268608	20031015
JP 2005013237 JP 2005021167	A2 20050120 A2 20050127		20040915
JF 2003021167	A2 20050127	JP 2004-267669	20040915

L4	ANSWER 13 OF 28	CAPLUS	COPYRIGHT 20	05 AG	CS on STN	(Conti	nued)
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	JP 2005070055	A2	20050317	JP	2004-269732		20040916
PRIO	RITY APPLN. INFO.	:		GB	1999-26437	λ	19991108
				GB	2000-4021	λ	20000218
				GB	2000-13001	A	20000526
				GB	2000-16563	λ	20000705
				GB	2000-17141	λ	20000712
				US	2000-175161P	P	20000107
				US	2000-192962P	P	20000329
				US	2000-217479P	P	20000711
				US	2000-221014P	P	20000727
				US	2000-221093P	P	20000727
				EP	2000-309722	A3	20001103
				JP	2000-339853	A3	20001108
				JP	2000-339905	A3	20001108
				JP	2000-339949	A3	20001108
				JP	2000-339957	A3	20001108
				US	2000-708392	A3	20001108
		E	1664	6-	61	1	- 5

A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal dysfunction, is described. The method comprises delivering to the female an agent that is capable of potentiating cMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a phermaceutically acceptable carrier, diluent or excipient. The agent is an inhibitor of NEP (neutral endopeptidase; EC 3.4.24.11).
337962-68-2P 337962-71-7P 337962-74-0P 337962-76-2P
RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (neutral endopeptidase inhibitors for treatment of female sexual dysfunction)
337962-68-2 CAPLUS
Cyclopentanepropancic acid, 1-[[[1,6-dihydro-6-cao-1-(phenylmathy]]-3-

Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenyimethyl)-3-pyridinyl]amino]carbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutanoic acid, $\alpha = [1-[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)$

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-{[(5-ethyl-1,3,4-thiadiazol-2-yl)amino|carbonyl}-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-76-2 CAPLUS
Cyclopentanepropanoic mcid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]mainolcarbonyl)-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7P

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:338074 CAPLUS
134:336237
ITITLE: Neuropeptide Y (NPY) antagonists for the treatment of female sexual dysfunction
HAW, Graham Nigel; Wayman, Christopher Peter Pfizer Limited, UK; Pfizer Inc.
SOURCE: EVENT ASSIGNEE S.
DOCUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Patent English 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KP 1097710	A1	20010509	EP 2000-309720	20001103
R: AT, BE, CH,	DE, DK	, ES, FR, (GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,				
AT 285249	E	20050115	AT 2000-309722	20001103
PT 1097719	T_	20050429	PT 2000-309722 ES 2000-309722	20001103
ES 2233297	T3	20050616	ES 2000-309722	20001103
ZA 2000006374 ZA 2000006375	A	20020506	ZA 2000-6374	20001106
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ZA 2000006376 ZA 2000006378	y	20020506		20001106
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AU 781400	B2 B2	20050512	AU 2000-71411 AU 2000-71407	20001106 20001106
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CB 2323183	AA	20030319	CA 2000-2323183	20001106 20001107
CA 2323183 CA 2323191	λλ	20010508	CA 2000-2323183	20001107
CA 2323464	AA	20010508	CA 2000-2323151	20001107
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CN 1320426	A	20011107	CN 2000-137665	20001107
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JP 2001247478 JP 2001247479	A2 A2	20010911	JP 2000-339949 JP 2000-339957	20001108
BR 2000005276	A2 A	20010911 20030408	BR 2000-339957	20001108
BR 2000005276	Â	20030408	BR 2000-5299	20001108
US 6734186	B1	20040511	US 2000-708392	20001108 20001108
US 2004254153	Al	20041216	US 2003-686390	20031015
US 2005020547	A1	20050127	US 2003-686282	20031015
US 2005070499	A1	20050331	US 2003-686349	20031015
JP 2005013237	A2	20050120	JP 2004-268608	20040915
JP 2005021167	A2	20050127	JP 2004-267669	20040915
JP 2005043377	A2	20050217	JP 2004-269807	20040916
JP 2005070055	A2	20050317	JP 2004-269732	20040916
PRIORITY APPLN. INFO.:				19991108
			GB 2000-4021 A	

ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
GB 2000-15061 A 20000705
GB 2000-17141 A 20000705
GB 2000-17141 A 20000712
US 2000-17161P P 20000717
US 2000-192962P P 20000329
US 2000-217479P P 20000717
US 2000-221014P P 20000727
US 2000-221014P P 20000727
US 2000-221014P P 20000727
JP 2000-339853 A3 20001108
JP 2000-339855 A3 20001108
JP 2000-339955 A3 20001108
JP 2000-339957 A3 20001108
JP 2000-339957 A3 20001108
JP 2000-33995 A3 20001108
JP

337962-68-2 CAPLUS Cyclopentanepropanoic acid, 1-[[{1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-c-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS
Benzenebutanoic acid, α -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE θ CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-76-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-{phenylmethyl}-3-pyridinyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

IT

RE: SPN (Synthetic preparation); PRRP (Preparation)
(neuropeptide Y antagonists for the treatment of female sexual dysfunction)
337962-89-7 CAPLUS

Cyclopentanepropanoic acid, 1-{{(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-\alpha-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2001:338068 CAPLUS
DOCUMENT NUMBER: 134:348237
Treatment of female sexual arousal dysfunction
INVENTOR(S): Haw, Graham Nigel: Vayman, Christopher Peter
PATENT ASSIGNEE(S): EUIL Limited, UX; Pfizer Inc.
EUr. Pat. Appl., 135 pp.
CODEN: EPXCDW
DOCUMENT TYPE: Patent
LANGUAGE: PATENT INFORMATION: 5 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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EP	1097707		A1	20010509		9719	20001103
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	IE.	SI. 1	T. LV.	FI, RO			
AT	285249		E	20050115	AT 2000-30	19722	20001103
PT	1097719		T	20050429	PT 2000-30	9722	20001103
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	20012474		A2 A2	20010911	JP 2000-33	19949	20001108
	20012474		A2	20010911	JP 2000-33	19949 19957 176 199 18392 16390	20001108
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	20042541		A1	20041216	US 2003-68	6390	20031015
	20050205		A1 A1	20050127			20031015
	20050704		A1	20050331	US 2003-68	6349	20031015
	20050132		A2 A2	20050120		8608	20040915
	20050211		A2	20050127	JP 2004-26	7669	20040915
	20050433		A2 A2	20050217	JP 2004-26	9807	20040915 20040916 20040916 19991108
	20050700		A2	20050317	JP 2004-26	9732	20040916
PRIORITY	APPLN.	INFO.:			GB 1999-26	437 1	19991108
					GB 2000-40	121 /	20000218
					GB 2000-13	.001 /	20000526

337962-71-7 CAPLUS
Benzenebutanoic acid, α -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)

RN 337962-74-0 CAPLUS

ANSWER 15 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

ANSWER 15 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Cyclopentanepropanoic acid, 1-[[(5-ethyl-1, 3, 4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

337962-76-2 CAPLUS
Cyclopentanepropanoic acid, 1-{{{1,6-dihydro-6-oxo-1-{phenylmethyl}-3-pyridinyl}amino}carbonyl}-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7 CAPLUS

Cyclopentanepropanoic acid, 1-[((1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-α-propyl- (9CI) (CA INDEX NAME)

337962-93-3 CAPLUS

JJ:79C-79J-3 CAPLUS Cyclopentanepropanoic acid, 1-{[(S-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-α-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:339067 CAPLUS
DOCUMENT NUMBER: 134:348226
TITLE: Phosphodiesterase inhibitors for the treatment of female sexual arousal dysfunction
Hew, Graham Nigel: Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK: Pfizer Inc.
SOURCE: CODEN: EPXXDV
DOCUMENT TYPE: CODEN: EPXXDV
Patent
LANGUAGE: EPXXDV
SUPPRINTED TO THE PROPERTY OF THE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:	5			
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097706				
EP 1097706	A1	20010509	EP 2000-309718	20001103
R: AT, BE, CH,	DE, DK	, ES, FR, G	B, GR, IT, LI, LU, NL	, SE, MC, PT,
IB, SI, LT,	LV, FI	, RO		
AT 285249	В.	20050115	AT 2000-309722	20001103
PT 1097/19	T_	20050429	PT 2000-309722	20001103
ES 2233297	13	20050616	ES 2000-309722	20001103
ZA 2000006374	A	20020506	ZA 2000-6374	20001106
ZA 2000006375	^	20020506	ZA 2000-6375	20001106
ZA 2000006376	۸.	20020506	ZA 2000-6376	20001106
ZA 2000006378	^_	20020506	ZA 2000-6378	20001106
AU /81186	B2	20050512	AU 2000-71411	20001106
AU 781400	B2	20050519	AU 2000-71407	20001106
AU /81403	B2	20050519	AU 2000-71408	20001106
CA 2323183	^^	20010508	CA 2000-2323183	20001107
CA 2323191	^^	20010508	CA 2000-2323191	20001107
CA 2323464	^^	20010508	CA 2000-2323464	20001107
CA 2324484	۸۸	20010508	CA 2000-2324484	20001107
NO 2000005618	•	20010509	NO 2000-5618	20001107
NO 2000005661	Α.	20010509	NO 2000-5661	20001107
NO 2000005662	A	20010509	NO 2000-5662	20001107
CN 1320426	A	20011107	CN 2000-137665	20001107
CN 1322526	A	20011121	CN 2000-137671	20001107
CN 1328824	A	20020102	CN 2000-137670	20001107
NZ 508006	y	20020628	NZ 2000-508006	20001107
NZ 508007	ý	20020628	NZ 2000-508007	20001107
NZ 508011	^	20020628	NZ 2000-508011	20001107
NZ 508012	À	20020628	NZ 2000-508012	20001107
BR 2000005266	^.	20030408	BR 2000-5266	20001107
JP 2001206855	A2	20010731	JP 2000-339905	20001108
JP 2001213802	AZ	20010807	JP 2000-339853	20001108
JP 2001247478	AZ	20010911	JP 2000-339949	20001108
JP 2001247479	AZ	20010911	JP 2000-339957	20001108
BR 2000005276	•	20030408	BR 2000-5276	20001108
BR 2000005299	۸.	20030415	BR 2000-5299	20001108
US 0/34180	BI	20040511	US 2000-708392	20001108
US 2004254153	VI	20041216	05 2003-686390	20031015
US 2005020547	A1	20050127	US 2003-686282	20031015
US 2005070499	Vī	20050331	US 2003-686349	20031015
UF 2003013237	A2	20050120	07 2004-268608	20040915
VF 2005021167	7.2	20050127	UP 2004-26/669	20060915
TD 2005070055	7.2	20030217	JP 2004-269807	20040916
PRIORITY APPLN. INFO.:	A.C	20000317	JP 2004-269732	20040916
FRICKLII APPLN. INFO.:			EP 2000-309712 AT 2000-309712 FT 2000-309722 FT 2000-309722 FT 2000-309722 ZA 2000-6374 ZA 2000-6375 ZA 2000-6376 ZA 2000-6376 ZA 2000-6378 AU 2000-71411 AU 2000-71407 AU 2000-71407 AU 2000-71407 AU 2000-3033 CA 2000-2323183 CA 2000-2323183 CA 2000-23231844 KN 2000-5661 KN 2000-5661 KN 2000-5661 KN 2000-5661 KN 2000-5661 KN 2000-5661 KN 2000-137670 KN 2000-50001 MZ 2000-60001 MZ 2000-60000 MZ 2000-60000 MZ 2000-60000 MZ 2000-60000 MZ 2000-600000 MZ 2000-60000 MZ 2000-60000 MZ 2000-60000 MZ 2000-60000 MZ 2000-60000 MZ 2000-600000 MZ 2000-60000 MZ 2	A 19991108
			GB 2000-4021	A 20000218

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ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GB 2000-13001 A 20000526

GB 2000-16563 A 20000705

GB 2000-17161 A 20000712

US 2000-175161P P 20000107

US 2000-175161P P 20000107

US 2000-217479P P 20000727

US 2000-221047P P 20000727

US 2000-221093P P 20000727

JP 2000-339853 A3 20001108

JP 2000-33995 A3 20001108

JP 2000-33995 A3 20001108

JP 2000-33996 A3 20001108

JP 2000-33996 A3 20001108

A method of treating a female suffering from female sexual dysfunction (FSD), in particular female sexual arousal dysfunction (FSAD), is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia wherein the agent is in an amount to cause potentiation of CAMP in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. Said agent is a phosphodiesterase (PDE) inhibitor wherein said PDE is a CAMP hydrolyzing PDE (and optionally CGMP hydrolyzing). 337962-6-2P 337962-71-7P 337962-74-0P
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inhibitor wherein said PDE is a cAMP hydrolyzing PDE (and optionally cGMP hydroyzing).

337962-68-29 337962-71-79 337962-74-09

337962-69-29 337962-99-79 337962-39-39

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphodiesterare inhibitors for the treatment of female sexual arousal dysfunction)

337962-68-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-α-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutanoic acid, $\alpha-[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl)- (9CI) (CA INDEX NAME)$

ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino)carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-76-2 CAPLUS

33/362-16-2 CAPLUS Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7 CAPLUS

S37902-89-7 CAPLOS Cyclopentanepropanoic acid, 1-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-α-propyl- (9CI) (CA INDEX NAME)

337962-93-3 CAPLUS

L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:50486 CAPLUS

134:105881

TITLE: 2001:50486 CAPLUS

134:105881

Pharmaceuticals with protective effects against oxidative-toxic substances, particularly against cardiotoxic substances, particularly against cardiotoxic substances

RCSSA, ZSUZSSANNA; Papp, Julius G.; Thormahlen, Dirk; Waldeck, Harald

PATENT ASSIGNEE(5): Solvay Pharmaceuticals G.m.b.H., Germany

PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE		AP	PL	ICAT	ION 1	NO.		D.	ATE	
WO									WC								
									ID, I								
							ZA			•							
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			SE												,	,	,
DE	1993	2555			A1		2001	0118	DE	1	999-	1993	2555		1	9990	713
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BR	2000	0124	42		A		2002	0402	CA BR	2	-000	1244	2 \		2	0000	710
EP	1200	095			A1		2002	0502	EP	2	000-	9479	60		2	0000	710
	R:	AΤ,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R,	IT,	LI,	LU,	NL,	SE,	MC.	PT.
			FI,												•	•	
TR	2002	0005	3		T2		2002	0521	TP	2	002-	2002	00053	1	2	0000	710
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ER S	DURCE					PAT	134:	1058	1								

RR SOURCE(S): MARPAT 134:105881
The invention relates to the utilization of benzazepine-N-acetic acid derivs, which contain an oxo group in addition to the nitrogen atom in the e-position and which are substituted in the third position by a 1-(carboxyalkyl) cyclopentylcarbonylamino group and to their salts and biolabile esters for the prophylaxis and/or treatment of heart damages caused by cardictoxic doses of drups or chems, in large mammals and particularly humans, beings. The invention particularly relates to the prophylaxis and/or treatment of heart damages, especially myocardial 1885.

prophylasis and/or treatment or mest usuages, especially, ages, ages, which may occur during cytostatic chemotherapy. The invention further relates to the utilization of these benzasepine-N-acetic acid derivs. for adjuvant treatment in therapy in which drugs, which have undesirable oxidative-toxic side effects, are used. The invention addil. relates to the production of drugs suitable for the prophylasis and/or treatment or adjuvant treatment. Thus, tablets were prepared from (35,2'R)-3-(1-[2'-(sthoxycarbony1)-4'-phenylbuty1]cyclopentane-1-carbonylamino)-2,3,4,5-tetrahydro-2-oxo-1H-1-benzasepine-1-acetic acid 20, corn starch 60, lactose 135, and gelatin (101 solution) 6 mg/tablet.

182560-86-7 182360-97-0 182821-29-0
RL: THU (Therapeutic use), BIOL (Biological study); USES (Uses)

ANSWER 17 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(pharmaceuticals with protective effects against cardiotoxic
substances)
182560-86-7 CAPLUS
1H1-18enzazepine-1-acetic acid, 3-[{[1-{2-carboxy-4-phenylbuyl]cyclopenty1]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI)
(CA INDEX NAME)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[{[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-, \(\alpha \) (phenylmethyl) ester (9CI) (CA INDEX NAME)

182821-29-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[1-{(2R)-2-carboxy-4-phenylbuty1]cyclopenty1]carbony1}amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 18 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) naphthylalkyl; R2; R3 = H, biolabile ester-forming group] are useful for treatment of high blood pressure regardless of etiol., esp. certain forms of secondary hypertension associ. with noncardiac disorders. Thus, rats with hypoxia-induced pulmonary hypertension, treated with (35,2'R)-3-[1-(2-carboxy-4-phenylbutyl) cyclopentane-1-carbonylamino]-2,3,4,5-tetrahydro-2-oxo-(1H)-1-benzazepine-1-acetic acid (II) (40 mg/kg i.p./day by osmotic minipump), showed a redn. in pulmonary arterial pressure with no effect on the systemic blood pressure. A sterile injection soln. contained II 10, NaZHPO4.7HZO 43.24, NaHZPO4.ZHZO 7.72, NaCl 30.0, and HZO 4948.0 mg.

 182821-29-0 IT
 - 187821-73-0

 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 (medicament for treatment of high blood pressure)

- (Uses)
 (medicament for treatment of high blood pressure)

 182821-29-0 CAPLUS

 1H-1-Benzazepine-1-acetic acid, 3-[[[1-{(2R)-2-carboxy-4-phenylbutyl]cyclopentyl]carbonyl}amino]-2,3,4,5-tetrehydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:574119 CAPLUS
DOCUMENT NUMBER: 133:172184 Medicament for treatment of high blood pressure
INVENTOR(S): Wilkins, Martin R., Thormaehlen, Dirk, Waldeck, Harald
Solvay Pharmaceuticals G.m.b.H., Germany
Ger. Offen., 8 pp.
CODEN: GWIXXEX
DOCUMENT TYPE: Patent
LANGUAGE: PAMILY ACC. NUM. COUNT: 1 FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PALENI	INFOR	WILL	UN:														
	TENT									APF	LICA	TION	NO.		D	ATE	
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DE	1990	6310			A1		2000	0817		DE	1999	-1990	06310		1	9990	216
TW	2217	70			B1		2004	1011		T¥	2000	-8910	01041		2	0000	121
CA	2362	273			AA		2000	0824		CA	2000	-236	2273		2	0000	210
WO	2000	0486	01		A1		2000	0824		WO	2000	-EP1	068		2	0000	210
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FP	1154	777	-		Ä1		2001	1121		Pb	2000	-903	681		5	0000	210
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.10	2002	5372	50		72		2002	1105		10	2001	- 5001	393	•	5	0000	210
Att	2002 7732 2951 1154 2001	40	~		82		2002	0520		811	2000	-254	76		5	0000	210
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71	2001	0050	20		:		2003	0715		7 L	2000	5030	901			0000	210
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NO	2001	0033			٠.		2001	1015		NO	2001	-3936	186		-	0010	815
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PRIORIT	I APP	TIM.	INFO	. :									06310				
										WO	2000	-EP10	168		₩ 2	0000	210
OTHER S	OURCE	(5):			MAR	PAT	133:	1/21	54								

CH2CO2R3

Benzazepine-N-acetic acid derivs. I [R1 = (substituted) phenylalkyl,

L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2005 ACS on STM
ACCESSION NUMBER:
2000:545910 CAPLUS
DOCUMENT NUMBER:
134:159784
A novel method of aligning molecules by local surface shape similarity
CORPORATE SOURCE:
50URCE:
14(6), 573-591
CODEN: JCADEQ; ISSN: 0920-654X
Kluwer Academic Publishers
DOCUMENT TYPE:
DOCUMENT TYPE:
150URCB:
1616)
AB A novel shape-based method has been developed for overlaying a series of mol. surfaces into a common reference frame. The surfaces are represented by a

mol. surfaces into a common reference frame. The surfaces are represented set of circular patches of approx. constant curvature. Two mols, are overlaid using a clique-detaction algorithm to find a set of patches in the two surfaces that correspond, and overlaying the mols, so that the similar patches on the two surfaces are coincident. The method is thus able to detect areas of local, rather than global, similarity. A consensus overlay for a group of mols, is performed by examining the scores of all pairwise overlays and performing a set of overlays with the highest scores. The utility of the method has been examined by comparing the overlaid and exptl. configurations of 4 sets of mols, for which there are x-ray crystal structures of the mols, bound to a protein active site. Results for the overlays are generally encouraging. Of particular note is the correct prediction of the "reverse orientation" for ligands binding to human rhinovirus cost protein IRV14.
12980-23-0 (Rovel method of aligning mols, by local surface shape similarity)
12980-23-0 CAPUS
L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1998:196303 CAPLUS
171TLE:
128:239479
Escazageineacetic acid derivatives promoting
gastrointestinal blood circulation
ROZSA, Susannar, Papp, Julius Gy.; Thormaehlen, Dirk;
Waldeck, Harald
SOURCE:
SOURCE:
COURST TYPE:

DOCUMENT TYPE:

CAPLUS COPYRIGHT 2005 ACS on STN
1998:196303 CAPLUS
Benzageapineacetic acid derivatives promoting
gastrointestinal blood circulation
ROZSA, Susannar, Papp, Julius Gy.; Thormaehlen, Dirk;
Waldeck, Harald
Solvay Pharmaceuticals G.m.b.H., Germany
Ger. Offen., 20 pp.
CODEN: GWXXEX

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19638020	A1	19980319	DE 1996-19638020	19960918
EP 830863	A1	19980325	KP 1997-115603	19970909
EP 830863	B1	20000510		
R: AT, BE, CH,	DE, DK	, ES, FR, GE	, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, FI	•			
ES 2145545	T3	20000701	ES 1997-115603	19970909
US 5783573	A	19980721	US 1997-929114	19970915
JP 10101565	A2	19980421	JP 1997-251928	19970917
PRIORITY APPLN. INFO.:			DR 1996-19638020	19960918
OTHER SOURCE(S):	MARPAT	128:239479		

Benzazepineacetic acid derivs. I [Rl = (substituted) phenylalkyl, naphthylalkyl; R2, R3 = H, group forming a biol. labile ester] and their salts are useful in pharmaceutical compns. for treatment and/or prophylaxis of disorders in the gastrointestinal (mesenteric) circulation of various etiol. in humans and large mammals. Thus, in rats with streptozotocin-induced diabetes, the mesenteric arterial blood pressure was 9 ml/min this was increased to 14 ml/min by treatment with I (substituents not specified) at 30 mg/kg/day orally for 8 wk. Tablets were prepared containing (35, ZR)-I (Rl = PhCH2CH2, R2 = Et, R3 = H) (II) 20, corn starch 60, lactose 135, and gelatin 6 mg. II was prepared from di-Et malonate and phenethyl bromide via 2-carboxy-4-phenylbutyric acid and Et e-(2-phenethyl)acrylate, reaction with cyclopentanearboxylic acid, resolution with L(-)-a-methylbenzylamine, condensation with tert-Bu 3-amino-2, 3, 4,5-tetrahydro-2-xoc-IH-1-benzazepine-1-acetate, etc. 182560-86-79 182850-97-09 182821-33-69 204781-63-19 204781-63-79 204781-63-79 204781-63-79 204781-65-79 20

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L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

204781-61-3 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1)carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9C1) (CA INDEX NAME)

204781-62-4 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo[9C] (CA INDEX NAME)

204781-63-5 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-3-phenylpropyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI)(CA INDEX NAME)

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ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
204781-70-49
RL: RAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(benzasepinasectic acid derivs. promoting gastrointestinal blood
circulation)
122560-86-7 CAPLUS
1H-1-Benzazepina-1-acetic acid, 3-[{[1-{2-carboxy-4phenylbutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI)
(CA INDEX NAME)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]aaino]-2,3,4,5-tetrahydro-2-oxo-,a-(phenylmethyl) ester (9CI) (CA INDEX NAME)

182821-33-6 CAPLUS

HR-1-Benzzepine-1-scetic acid, 3-[[[1-[(2R)-2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9C1) [CA INDEX MAME)

Absolute stereochemistry.

ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

204781-64-6 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-3-phenylproyl) cyclopentyl] carbonyl] amino] -2, 3, 4, 5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry

204781-65-7 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-3-(4-mathylpheny1)propy1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI) (CA INDEX NAME)

204781-69-1 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,disodium salt, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

204781-70-4 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(1-naphthalenyl]propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

Title compds. (I; R1 = alkoxyalkoxyalky1, phenylalky1, phenoxyalky1, etc.; R2,R3 = H or halo; R4,R5 = H, metabolism labile ester residue; 2 = CH2, O,

were prepared Thus, tert-Bu 3-amino-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepine-1-acetate was amidated by 1-(2-ethoxycarbony1-4-phenylbuty1)cyclopentenecarboxylic acid (preparation each given) to give I

182560-90-3 CAPLUS
1,5-Benzowazepine-5(2H)-acetic acid, 3-{[[1-(2-carboxy-3-(2-methoxy)propyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-,

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09/30/05

L4 ANSWER 21 OF 28
ACCESSION NUMBER:
1996:646474 CAPLUS
125:301029
TITLE:
125:301029
Preparation of 3-[[(1-carboxyalky1)cyclopenty1]carbony lamino|benzaepin-1-acetates and analogs as neutral endopeptidase inhibitors
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
PATENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

COPPER STATEMENT ASSIGNMENT COUNT:
FAMILY ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		19960925	EP 1996-104265	19960318
EP 733642				.,,,,,,,,,
			R, GB, GR, IE, IT, LI,	LU. NL. PT. ST
DE 19510566	A1	19960926	DE 1995-19510566	19950323
ZA 9601243	A	19960827	ZA 1996-1243	19960216
IL 117265	A1	20000716	IL 1996-117265	19960226
SK 281079	В6	20001107	SK 1996-354	19960315
AT 197801	E	20001215	AT 1996-104265	19960318
ES 2152444	T3	20010201	R, GB, GM, IE, 11, L1, DE 1995-19510566 ZA 1996-1243 IL 1996-117265 SK 1996-354 AT 1996-104265 ES 1996-104265 FT 1996-104265 CN 1996-104257 RU 1996-104257	19960318
PT 733642	T	20010330	PT 1996-104265	19960318
CN 1147506	Α	19970416	CN 1996-104257	19960320
CN 1059436	В	20001213		
RU 2159768	C2	20001127	RU 1996-105383	19960320
CA 2172354	AA	19960924	CA 1996-2172354	19960321
CA 2172354	С	20021008		
AU 9648210	A1	19961003	AU 1996-48210	19960321
AU 701271	B2	19990121		
NO 9601181 JP 08269011	Α	19960924	NO 1996-1181	19960322
JP 08269011	A2	19961015	JP 1996-66703	19960322
US 5677297	A	19971014	US 1996-620213	19960322
CZ 289245	B6	20011212	CZ 1996-863	19960322
PL 184336	B1	20021031	CZ 1996-863 PL 1996-313433	19960322
GR 3035410	T3	20010531	GR 2001-400240	20010214
IORITY APPLN. INFO.:			DE 1995-19510566	A 19950323
HER SOURCE(S):	MARPAT	125:301029		

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) α -(phenylmethyl) ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

182560-91-4 CAPLUS
1,5-Benzoxazepine-5(2H)-acetic acid, 3-[[{1-[2-carboxy-3-{2-methoxycthoxy}propyl}cyclopentyl]carbonyl}amino]-3,4-dihydro-4-oxo-, [5-(R*,R*)]- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

182560-95-8 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[[1-(2-carboxy-5-(3,4-dimethoxyphenyl)pentyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-(SCI) (CA INDEX NAME)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl) cyclopentyl]carbonyl]anino]-2,3,4,5-tetrahydro-2-oxo-,a-(phenylaethyl) ester (9CI) (CA INDEX NAME)

182561-00-8 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carboxyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R*,5*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-01-9 CAPLUS

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-fluorophenoxy]butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R*,5*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-05-3 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*.5*)- (9CI) (CA INDEX NAME)

182561-06-4 CAPLUS
1,5-Benzowazepine-5(ZH)-acetic acid, 3-{[[1-(2-carboxy-4-phenylbutyl)|cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-, [S-(R*,S*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

182561-07-5 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(4-methylphenyl]propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,5*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/30/05

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) lH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(2-methoxythoxylpropyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,5*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-02-0 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(1-naphthaleny])butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R',3')- (9CI) (CA INDEX NAME)

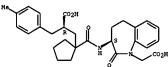
Relative stereochemistry.

182561-03-1 CAPLUS
IH-1-Benzazepine-1-ecetic acid, 3-[[[1-(2-carboxy-5-phenoxypenty)]cyclopenty]]carboxyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R*,S*)- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-04-2 CAPLUS

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



182561-08-6 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[[1-[2-carboxy-5-(4-mathoxyphenyl)pentyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-, [R-(R*,R*)]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

182561-13-3 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(1-naphthalenyl)propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-29-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-{{{1-(2-carboxy-4-phenylbutyl)cyclopentyl)carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R*,R*)-(9CI) (CAINDEX NAME)

Relative stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

Ph S S CO2H

RN 182561-30-4 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(2-nethoxythoxy]propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,R*)- [9CI] (CA INDEX NAME)

Relative stereochemistry.

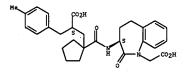
RN 182561-31-5 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-[1-naphthalenyl]butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-32-6 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-5-phenoxypenty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-,(R*,R*)- (SCI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued



RN 182561-40-6 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-3-(1-naphthalenyl]propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R*,S*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 182704-04-7 CAPLUS
(1,5-Benzothiazepine-5(ZH),-acetic acid, 3-{[[1-[2-carboxy-4-(4-fluorophenoxy)buy1]cyclopenty1]carbony1]amino]-ar,ar-dichloro-3,4-dibydro-4-cxo-, [R-(R*,R*)]- (9C1) (CA INDEX NAME)

09/30/05

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 182561-33-7 CAPLUS
CN 1H+1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4fluorophenoxy)buty]]cyclopeatyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,
 (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-34-8 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{{[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,R*)- (9CI) (CA INDEX NAME)

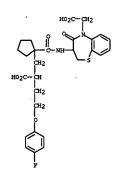
Relative stereochemistry.

RN 182561-35-9 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[{1-[2-carboxy-3-(4-methylphenyl)propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R*,R*)- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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PAGE 2-A

2 (D1-C1)

RN 182821-29-0 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[(2R)-2-carboxy-4-phenylbutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 182821-30-3 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[(2S)-2-carboxy-3-(2-methoxyethoxy)propy1]cyclopenty1]carbony1]aminoj-2,3,4,5-tetrahydro-2-oxo-, (3S)- {9Cl} (CA INDEX NAME)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

182821-31-4 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbuyl)cyclopenty1)carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-,
[S-(R*,R*)]- (9CI). (CA INDEX NAME)

Absolute stereochemistry.

182821-32-5 CAPLUS H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

192921-33-6 CAPLUS

Absolute stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

2 (D1-C1)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

182821-36-9 CAPLUS
1H-1-Benzszepine-1-acetic acid, 3-{{[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino}-2,3,4,5-tetrahydro-2-oxo-,
[S-(R*,R*)]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

192821-37-0 CAPLUS
1,5-Benzothiazepine-5(2H)-acctic acid, 3-[[1-[2-carboxy-5-(4-methoxyphenyl)pentyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-,[S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

182824-17-5 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[[1-[2-carboxy-4-(4-fluorophenoxy)butyl]cyclopentyl]carbonyl]amino]-ar,ar-dichloro-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

AUTHOR (S):

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:494199 CAPLUS
DOCUMENT NUMBER: 125:184878
TITLE: Three-Dimensional Models of ACI

CORPORATE SOURCE:

125:184878
Three-Dimensional Models of ACE and NEP Inhibitors and Their Use in the Design of Potent Dual ACE/NEP Inhibitors
Bohacek, Regine, De Lombaert, Stephane, McMartin, Colin, Priestle, John, Gruetter, Markus
Pharmaceuticals Division, Ciba-Geigy Corporation, Summit, NJ, 07901, USA
Journal of the American Chemical Society (1996), 118 (35), 8231-8249
CODEN: JACSAT; ISSN: 0002-7863
American Chemical Society
Journal

LISHER: American Chemical Society

WENT TYPE: Journal

GUAGE: English

A composite template for angiotensin converting enzyme (ACE, EC 2.4.15.1)

inhibitors and a hypothetical model of the active site of neutral

endopaptidase (NEP, EC 3.4.24.11) have been constructed and used to guide

the design of dual ACE/NEP inhibitors. For the ACE template, a new

computer program was used to flexibly superimpose potent, conformationally

restricted ACE inhibitors. This program, which only considers the

structures of the ligands, generated three possible templates. It was

possible to evaluate the plausibility of these templates because new x-ray

data is extending the suthors knowledge of the binding of ligands to zinc

metalloproteases. The authors have found that the available x-ray

structures of inhibitors complexed to different zinc metalloproteases

share certain conformational features. In each complex, the regions

between the catalytic zinc and the Pl' side chain were found to have

almost the same geometry. This geometry appears to be dictated by the

mechanism of catalysis. Only one of the templates displays this geometry

and is, therefore, proposed as a pharmacophore for ACE. To simulate NEP,

the authors used the crystal structure of the active site of thermolysin

(EC 34.24.4). These models of ACE and NEP predict that the conformation

an inhibitor must adopt to bind to ACE differs from that required for

binding to NEP. The authors have designed inhibitors in which

conformationally restricted sections are linked by a flexible hinge,

allowing the mols. to adapt to the conformation required by each enzyme.

One of these inhibitors, a tricyclic a-thiol, CGS 28106 (1), was

found to inhibit both ACE and NEP with an ICSO of 40 and 48 nM, resp. The

models predict that I binds to the S1, S2, and S3 subsites of NEP and

thermolysin and to the S1, S1, and S2 subsites of ACE. The predicted

mode of binding of I to thermolysin was exptl. verified by the

mode of binding of I to thermolysin was exptl. verified by the

determination of
the x-ray crystal structure of the thermolysin/I complex. This is the
first reported three-dimensional structure of an a-thiol bound to a
zinc metalloprotesse. Except for a single NEP inhibitor, the models the
authors propose for ACE and NEP are able to differentiate between active
and inactive compds. reported in the present as well as other studies of
dual ACE/NEP inhibition.

IT 12980-23-0
RL: BAC (Biological activity or effector, except adverse), BSU (Biological
study, unclassified), PEP (Properties), BIOL (Biological study)
(three-dimensional models of angiotensin-converting enzyme and neutral
endopeptidase inhibitors using inhibitor template and thermolysin and
its use in design of potent dual inhibitors

EN 129980-23-0 CAPLUS

CN L-Tryptophan, N-{[1-(2-Carboxy-4-phenylbutyl)cyclopentyl]carboxyl}- (9CI)

L-Tryptophan, N-{[1-{2-carboxy-4-phenylbutyl}cyclopentyl]carbonyl}- (9CI)

09893585

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:268877 CAPLUS
DOCUMENT NUMBER: 122:46490
Tryptophans as inhibitors for formation of endothelin
TRYPETH ASSIGNEE(S): 7 Tanaka, Hiroko, Nakada, Tomohisa, Endo, Noriaki
Teijin Ltd, Japan
SOURCE: 7 Tanaka, Hiroko, Nakada, Tomohisa, Endo, Noriaki
Teijin Ltd, Japan
CODEN: JOCKAF
DOCUMENT TYPE: Patent
LANGUAGE: 1 JOCKAF
FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. JP 06279284
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI A2 19941004 JP 1993-95164 JP 1993-95164 19930331 19930331 MARPAT 122:46490

CONHCH (CO2R1) CH2 CH2CH (CO2R2) CH2O (CH2) 2OR3 I

The title inhibitors useful for treatment of cerebral vasospasm contain tryptophans I (R1-R3 = H, C1-6 alkyl, C3-7 cycloalkyl, PhCH2) or their pharmacol. acceptable salts as active ingredients. Hydrolysis of I (R1 = R3 = Me, R2 = CMe3) in 4N HCl in dioxane at room temperature for 2 h gave

I (R1 = R3 = Me, R2 = H), which inhibited release of endothelin-1 and big endothelin-1 from aorta in a dose dependent manner. Tablets containing the products were also formulated. 160092-12-69
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(tryptophass as inhibitors for endothelin formation for treatment of cerebral vasospasm)
160092-12-6 CAPLUS
1-Tryptopha, N-[[1-[2-carboxy-3-(2-methoxyethoxy)propyl]cyclopentyl]carbo nyl]-, α-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

ACCESSION NUMBER:

ACCESSION NUMBER:

1996:51312 CAPLUS

TITLE:

124:164308

Bydration in drug design. 2. Influence of local site surface shape on water binding

ACHEMOR(S):

CORPORATE SOURCE:

DEP. Pharmacology, Univ. Cambridge, Cambridge, CB2 1QJ, UK

SOURCE:

SOURCE:

DOURDER SOURCE:

FUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

AB If water mols. are strongly bound at a protein-ligand interface, they are unlikely to be displaced during ligand binding site and thus affect strategies for drug design. To understand the nature of water binding, and factors influencing it, water mols. at the ligand binding site of 26

bigh-resolution

ACCESSION NUMBER:

124:164308

Bydration in drug design. 2. Influence of local site surface, CS, Dean, P. M.

DOP, Pharmacology, Univ. Cambridge, CB2

1QJ, UK

SOURCE:

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influencing it, water mols. at the ligand binding sites of 26
high-resolution
protein-ligand complexes have been examined here. Water mols. bound in deep
grooves and cavities between the protein and the ligand are located in the
indentations on the protein-site surface, but not in the indentations on
the ligand surface. The majority of the water mols. bound in deep
indentations on the protein-site surface make multiple polar contacts with
the protein surface. This may indicate a strong binding of water mols. in
deep indentations on protein-site surfaces. The local shape of the site
surface may influence the binding of water mols. that mediate
protein-ligand interactions.

IT 129980-23-0
RL: BPR (Biological process): BSU (Biological study, unclassified): PRP
(Properties): BIOL (Biological study): PROC (Process)
(hydration in drug design - influence of local site surface shape on
water binding)

water binding) 129980-23-0 CAPLUS

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl)cyclopentyl}carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 24 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:48723 CAPLUS
DOCUMENT NUMBER: 120:48723 LAPLUS
TITLE: 120:48723 LAPLUS and neutral endopeptidase 24.11 by a novel glutarquide derivative: X-ray structure determination of the thermolysin-inhibitor

AUTHOR (5):

complex Holland, D. R., Barclay, P. L.; Danilewicz, J. C.; Matthews, B. W., Janes, K. Inst. Mol. Bioch., Univ. Oregon, Eugene, OR, 97403, USA Biochemistry (1994), 33(1), 51-6 CODEM: BICHAW, ISSN: 0006-2960 Journal CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

JAGE: English
Determination of the X-ray structure of thermolysin-inhibitor complexes has proven

Determination of the X-ray structure of thermolysin-inhibitor complexes has been useful in aiding the understanding of the mode of binding of inhibitors of related, physiol. important, mammalian zinc peptidases including neutral endopeptidase EC 34.24.11 and angiotensin-converting enzyme. Here the authors describe the mode of binding to crystalline thermolysin of N-(1-(2(R, S)-carboxy-4-phenylbury) cyclopentylcarbonyl)-(3)-tryptophan (CCT). CCT is an analog of both candowatrilat, a potential hibitor of neutral endopeptidase 24.11, and of the 5-indanyl ester prodrug candowatril, which is under clin. evaluation as a potential therapy for congestive heart failure. CCT differs from the previously studied N-carboxyalkyl dipeptide CLT [N-(1-carboxy-3-phenylpropyl)-(5)-leucyl-(5)-tryptophan] in several important respects. It has a highly constrained gen-cyclopentyl Fl' substituent and lacks the characteristic inino nitrogen substituent of CLT. The structure determination shows that, notwithstanding the conformational influence of the gen-cyclopentyl substituent, CCT binds within the active site of thermolysin in a similar manner to CLT. Although the characteristic bydrogen bond between the imino nitrogen of CLT and thermolysin is absent in CCT, the affinities of the two inhibitors for the enzyme are virtually identical. These results illustrate the importance of considering not only those hydrogen bonds that may be lost due to desolvation of the enzyme and ligand on formation of the complex. In addition, the overall conformational demands placed upon a ligand in order to achieve receptor interaction may be critically important.

129980-23-00, complexes with thermolysin (three-dimensional structure of and hydrogen bonding role in, carboxylalkyl dipeptide complexes in relation to)

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl) cyclopentyl]carbonyl]-(SCI) (CX NDEX NAME)

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:39409 CAPLUS

118:39409 CAPLUS

Preparation of N-[1-[2- carboxy-3(prolylamino)propyl]cyclopentane carbonyl]serines and analogs as antihypertensives

Brown, Davidi Collis, Alan John; Danilewicz, John Christopher; James, Keith; Kobylecki, Ryszard Jurek

PATEMT ASSIGNEE(S):

PT Int. Appl., 104 pp.

COEN: PIXKD2

DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1

PATENT NO. DATE APPLICATION NO. DATE KIND WO 9214706 A1 19920903 WO 1992-EP321 19920212 W: CA, FI, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE RITY AFPLIA. INFO: GB 1991-3454 A 19910219 A1 US

MARPAT 118:39409

Title compds. [I, R1, R2 = H, biolabile ester residue; 1 or both of OR1, OR2 may be replaced by NH2; R1 = CHRS(CH2)nOCH2R, alkoxymethyl, furfuryl, (substituted) Ph, etc.; R = (halo)phenyl; R4 = H, OH; R5 = H, He; n = 0, 1] were prepared Thus, N-tert-butoxycarbonyl-(S)-proline 4-nitrophenyl ester was condensed with 1-[3-amino-2(5)-tert-butoxycarbonylpropyl] cyclopentanscarboxylic acid Na salta and the product condensed with O-banzyl-(S)-serine Me ester to give (S,S)-1 (R1 = CM83, R2 = He, R3 = CH2OCH2Ph, R4 = H). (S,S)-1 (R1 = R2 = R4 = H, R3 = CH2OCH2C6H4F-4) had 1CS of 4.0 + 10-9 and 1.8 + 10-8 H against angiotensin converting enzyme and neutral metalloendopeptidase, resp. 144934-64-559
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREF (Preparation) (preparation of, as antihypertensive) 144934-64-5 CAPJUS B-Alanine, 2-[[1-[(1-carboxy-2-(tetrahydro-2-furanyl)ethyl]amino]carbonyl]cyclopentyl]methyl]-N-L-prolyl- (9CI) (CA INDEX NAME)

ANSWER 25 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 26 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
113:191965 CAPLUS
113:191965 CAPL

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND D	ATE	APPLICATION NO.	DATE
		9900314	EP 1989-308740	19890830
EP 358398 EP 358398	R1 1	9930310	M 1303-300740	13030030
D: AT RE CH	חד די	FR GR	GR, IT, LI, LU, NL, SE	
115 4975444	DD, DD,	9901204	115 1080-308675	19890825
II. 91460	Ä1 1	9950831	US 1989-398675 IL 1989-91460	19890829
AT 96606	P 1	3150569	AT 1989-308740	19890830
ES 2054009 CA 1341046	T3 1	9940801	ES 1989-308740 CA 1989-610165	19890830
CA 1341046	A1 2	0000704	CA 1989-610165	19890901
DK 8904362	A 1	9900306	DK 1989-4362	19890904
DK 175082	B1 2	0040524		
FI 8904158		9900306	FI 1989-4158	19890904
Pt 111715	D1 2	0030915		
NO 8903546 NO 177747 NO 177747	A 1	9900306	NO 1989-3546	19890904
NO 177747	В 1	9950807		
NO 177747	C 1	9951115		
AU 8941052	A1 1	9900308	AU 1989-41052	19890904
AU 604195	B2 1	9901206		
HU 51293		9900428	HU 1989-4562	19890904
HU 215440		0000428		
DD 284222		9901107	DD 1989-332345	19890904
ZA 8906760		9910424	ZA 1989-6760	19890904
PL 161527		9930730	PL 1989-281295	19890904 19890904
RU 2012556		9940515	RU 1989-4614874	19890904
CZ 282142		9970514	CZ 1989-5108	19890904
CN 1040986	A 1	9900404		19890905
CN 1031051	В 1	9960221		
	A2 1		JP 1989-230253	19890905
	B4 1			
		9980410	RU 1993-4970 GB 1988-20844 A	19930524
PRIORITY APPLN. INFO.:			GB 1988-20844 A	19880905
			EP 1989-308740 A	19890830
OTHER SOURCE (S) .	MADDAT 1	13.10106	5	

OTHER SOURCE(S): MARPAT 113:191965
G1 For diagram(s), see printed CA Issue.
Ab The title compds. [1, A = atoms to complete an (un)saturated 5- or

amereo carbocyclic ring; R.R4 - H, alkyl, cycloalkyl, PhCH2, biolabile ester residue; R1 - H, elkylr R2 - H, aryl, heterocyclyl, amido, carbamcyl, etc.; R3 - 3-indolylenthyl, 3-indazolylnethyl, (un)substituted PhCH2; Y -

(Continued) L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

09/30/05

ANSWER 27 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) bond, alkylene), inhibitors of enzyme R. C. 3.4.24.11 and angiotensin converting enzyme (no data), were prepd. Thus, 1-(2-tert-butylcarbonyl-3-dibenzylaninopropyl)-3-dibenzylaninopropyl)-1-cyclopentanecarbowylic acid was condensed with (5)-4-ROCGMCH(RHZ)COZHe3 to give cyclopentanecarbomyltyrosine ester (S)-II [R = R4 = R5 = CMe3, R2 = N(CHZPh)2] which was N-deprotected and the product condensed with (S)-QOH [Q = RGHI(CHZ)4CH(NHR6)CO) R6 = COZCHZPh) to give (S, S, S)-II (R2 = NHQ) (III; R, R4, and R5 same as above, R6 = COZCHZPh). The latter wes deprotected in 2 steps to give III (R = R4 = R5 = R6 = H).

129980-16-19 129980-20-7P 129980-23-OP

RL: RCT (Reactant): SFN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

(preparation and reaction of, in preparation of cardiovascular agents) 129980-16-1 CAPLUS

1H-Indazole-3-propanoic acid, a-[{[1-(2-carboxypentyl)cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

1=3700-20-7 CAPLUS L-Tryptophan, N-[[1-(2-carboxypentyl)cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L-Tryptophan, N-{[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME) 129980-23-0 CAPLUS

Absolute stereochemistry.

L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
113:5779 CAPLUS
113:5779 CAPLUS
113:5779 CAPLUS
113:5779 CAPLUS
103:0779 CAPLUS
103:077

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. DATE PRIORITY NO. AIN UNIT APPLICATION NO. DATE

OF 2218983 Al 19891129 GB 1988-12596 19880527

PRIORITY APPLM. INFO.: GASREACT 113:5779, HARPAT 113:5779

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, Cl-6 alkyl, PhCH2, ester residue; R1 = H, Cl-4 alkyl; R5 = substituent; A completes a 4-7-membered saturated or mono-unsatd. carbocyclic ring which may be optionally fused to a further saturated or unsatd. S- or 6-membered carbocyclic ring, X = Q wherein R2, R3 =

R3 = H, OH, Cl-4 alkyl, alkoxy; R4 = H, C2-6 alkyl, PhCH2, ester residue; Y = O, CH2, CH2CH2, Q1 (wherein m, n = 1, 2; q = 3-5)], useful as diuretics in treating such cardiovascular disorders as hypertension and heart failure, are prepared 1-Ethyl-3-(dimethylamino)propylcarbodiimide HCl was added to a stirred mixture of ester II (preparation given), ester salt III (preparation give),
1-hydroxybenzotriazole, and N-methylmorpholine in CH2C12 under cooling and stirred at room temperature to give 85% IV. Also prepared were 23 addnl. I

many intermediates. The suitable dose is 10-1500 mg/day for adults.
127283-34-5P 127283-36-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PRRP (Preparation); USES (Uses)
(preparation of, as diuretic)
127283-34-5 CAPUS ΙT

12/23-34-3 CAPLUS CAPLUS COyclopentanepropencic acid, $1-[[(6-(hydroxymethyl)-7-oxabicyclo[2.2.1]hept-2-yl]amino]carbonyl]-<math>\alpha-(2-methoxyethyl)-(9CI)$ (CA INDEX NAME)

127283-36-7 CAPLUS
7-Oxabicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[[1-(2-carboxy-4-methoxybutyl)cyclopentyl]carbonyl]amino]- (SCI) (CA INDEX NAME)

L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

09893585 09/30/05

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LOGOFF? (Y)/N/HOLD:y

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